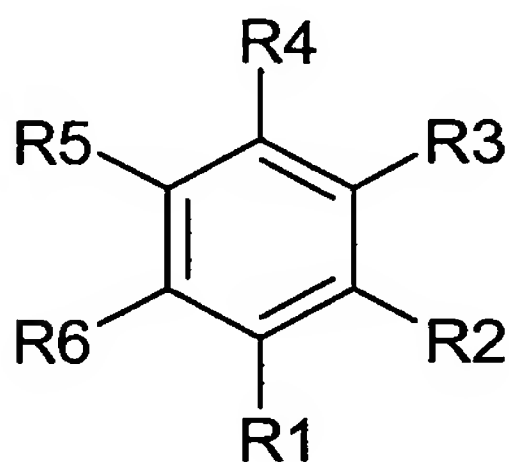


Amendments to the Claims:

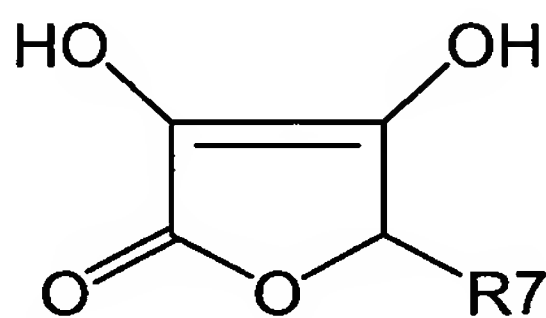
This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

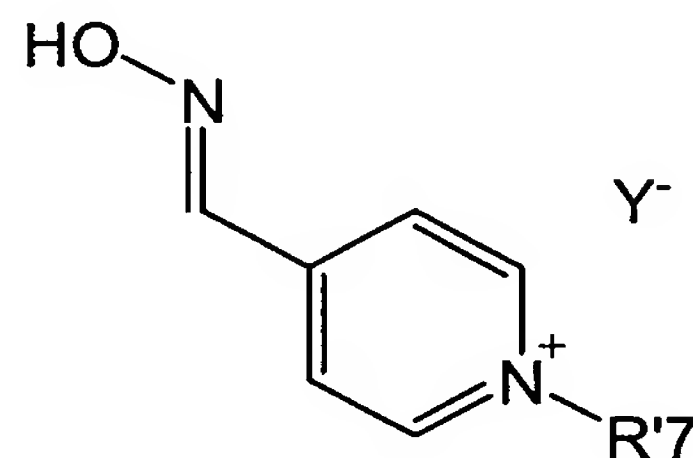
1. (Currently Amended) A ~~pharmaceutical composition~~
method for treatment of a disease or disorder ~~diseases and~~
~~disorders~~ caused by or associated with heparanase catalytic
activity, said ~~composition~~ method comprising administering to
a patient in need an effective amount of a pharmaceutically
~~acceptable carrier and at least one~~ heparanase inhibitor of
the general formula I, II III or IV:



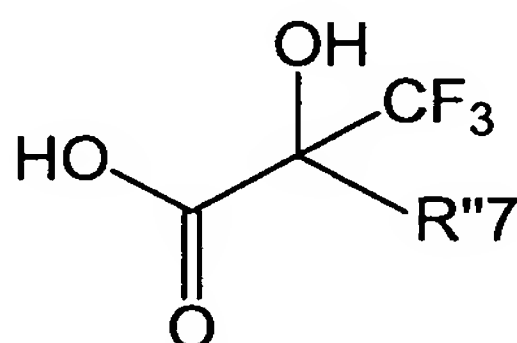
I



II



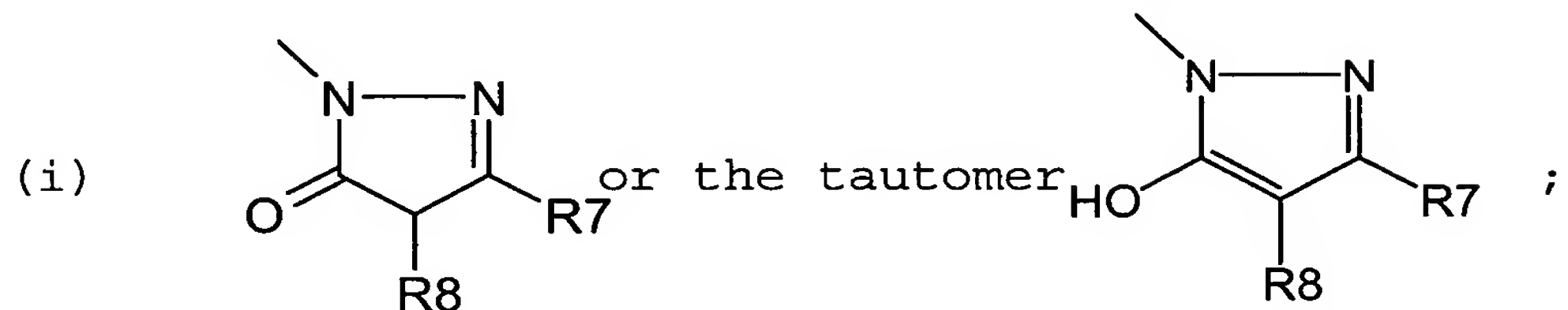
III



IV

wherein

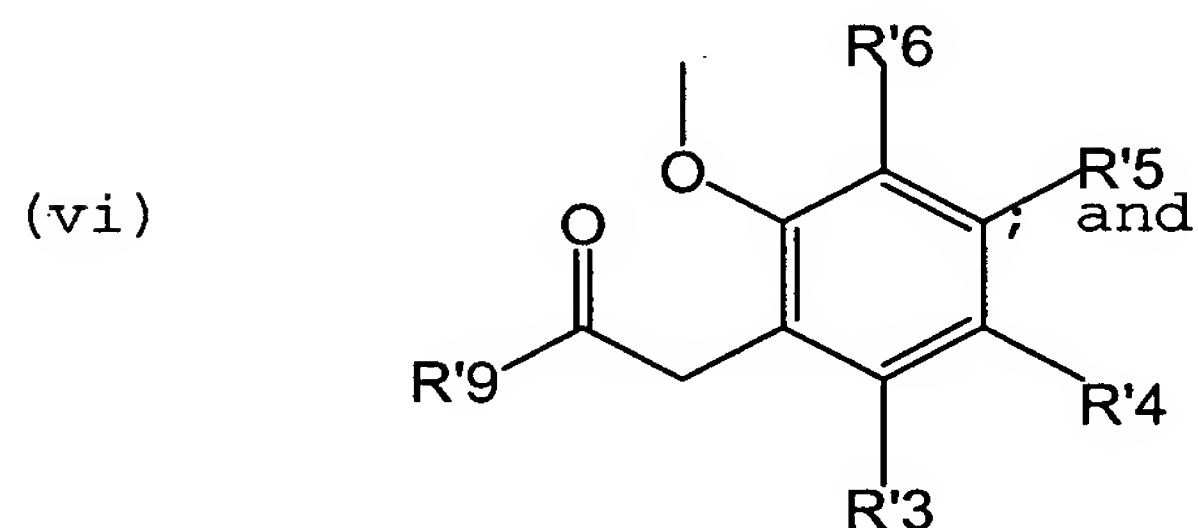
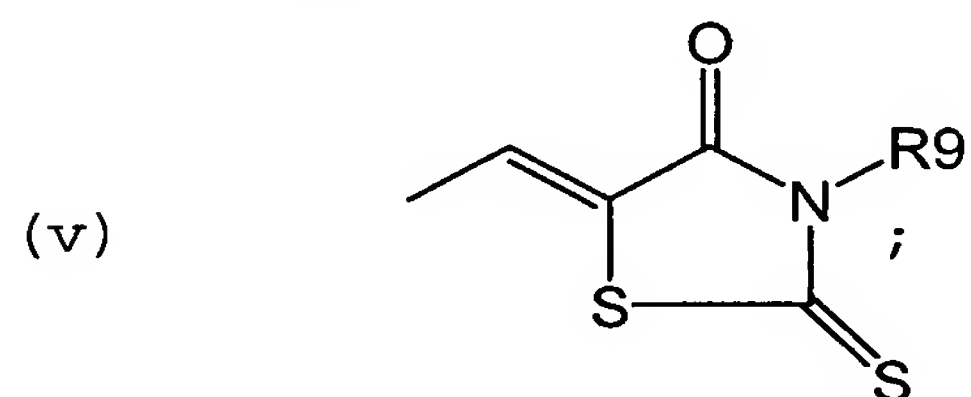
R1 is selected from the group consisting of:



(ii) -N(R9)-CO(R10);

(iii) -CO-N(R9)(R10);

(iv) -SO₂R11;

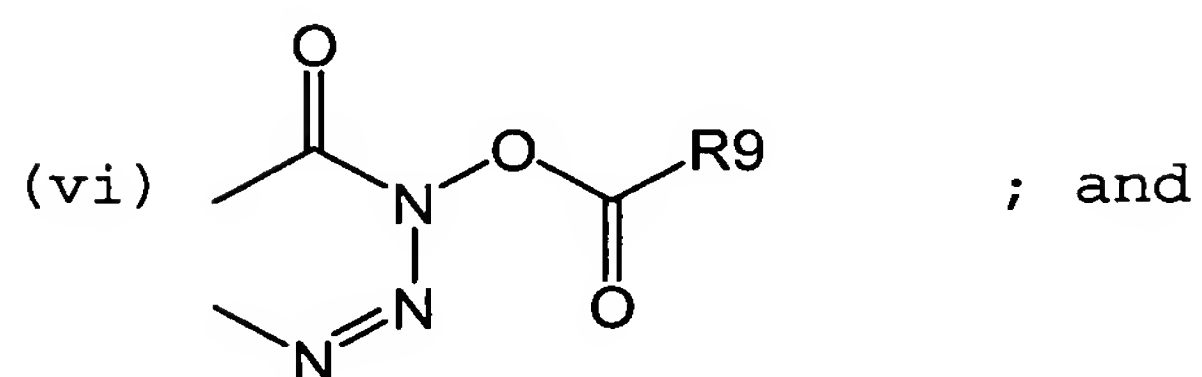
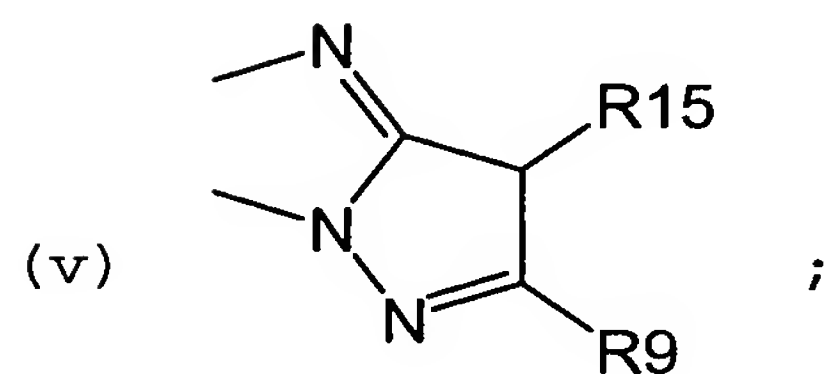
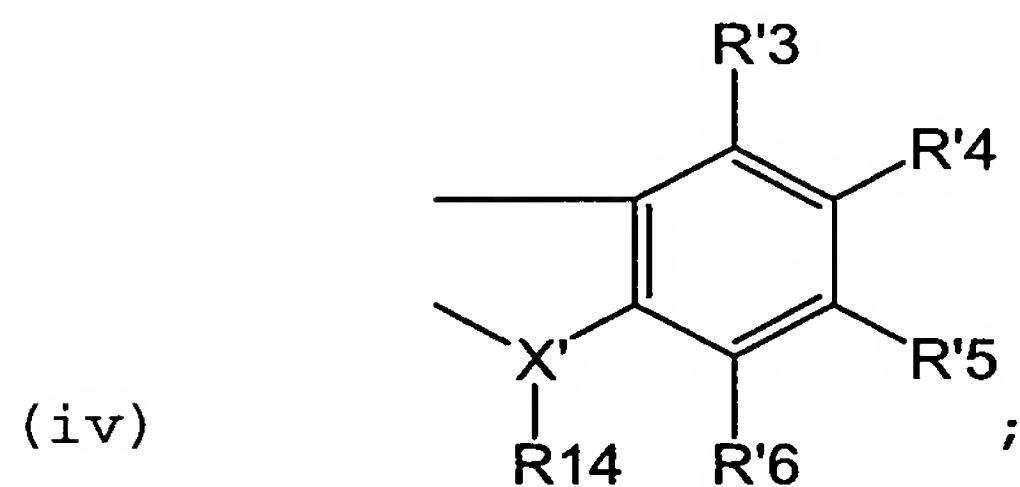
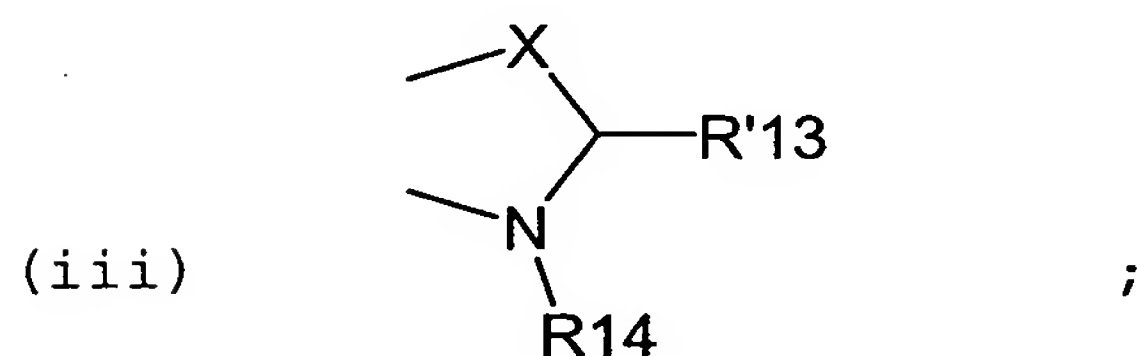
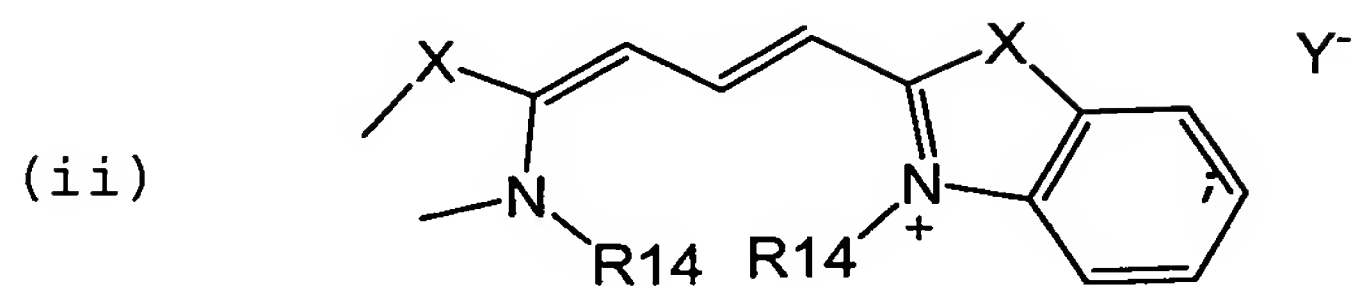
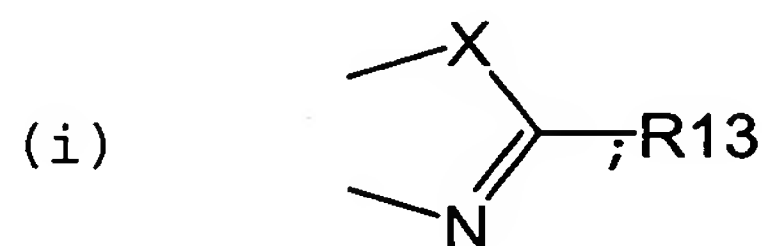


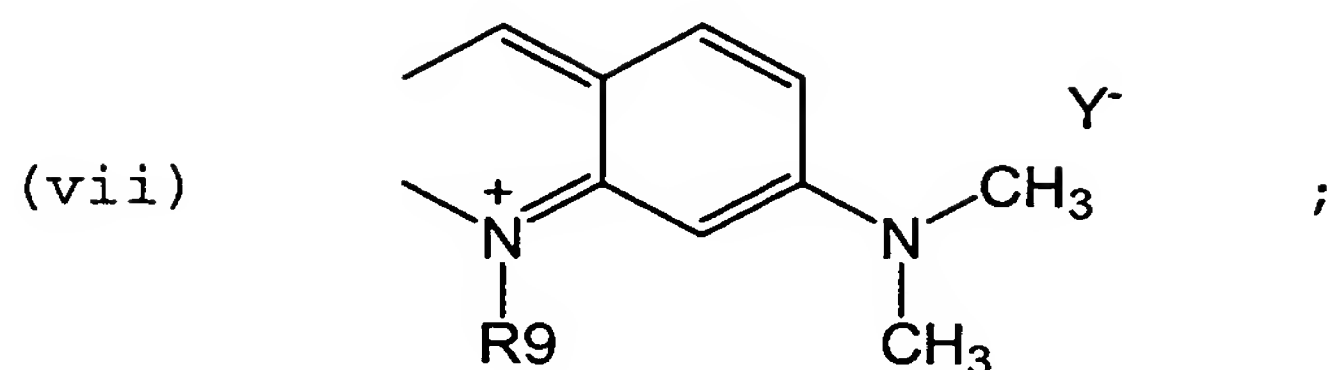
(vii) -CH(OH)-CH(NH-CO-R'7)-CH₂NR₉R'9

R2, R3, R4, R5, R6, R'3, R'4, R'5 and R'6 each independently represents hydrogen, halogen, nitro, (C1-C32) alkyl, (C2-C32) alkenyl, (C6-C14) aryl, heteroaryl, -OR₉', -SR₉', -NR₉R'9, - (CH₂)_n-NR₉-COR'9, -COR'9, -COOR'9, - (CH₂)_n-CO-N(R₉)(R'9); - SO₃R'9, -SO₂R'9, or -NHSO₂R'9;

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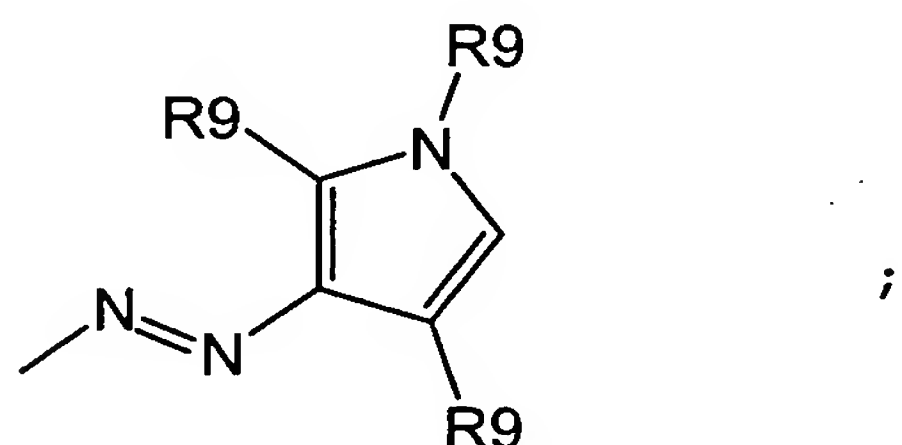
or R1 and R2 together are a moiety selected from the group consisting of:





wherein X is O, S, N(R12) or C(R'12, R''12) and X' is O or N;
or each pair of R2+R3, R3+R4, R4+R5 or R5+R6, together with
the carbon atoms to which they are attached, form a 5- or 6-
membered aromatic ring;

R7 is selected from the group consisting of H, halogen, (C1-
C32) alkyl, (C2-C32) alkenyl, (C6-C14) aryl, heteroaryl, -
OR'9, -SR'9, -NR9R'9, -NR9-COR'9, -COR'9, -COOR'9, -CH(OH)-
(CH2)_n-O-CO-R9, -(CH2)_n-NR9-COR'9, -(CH2)_n-CO-
N(R9)(R'9), -SO₃R'9, -SO₂R'9, -NHSO₂R'9, -N=N-(C6-C14) aryl,
and



R'7 is (C1-C32) alkyl;

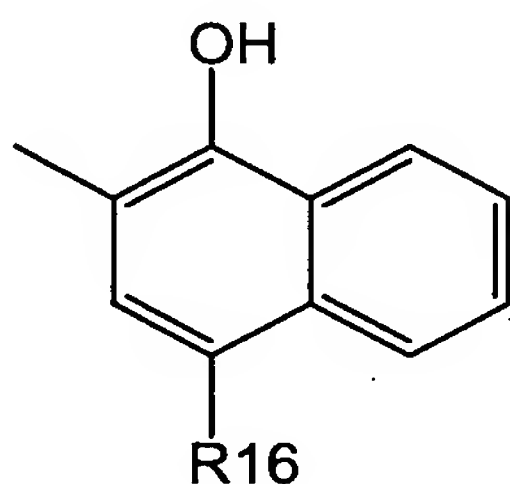
R''7 is (C2-C32) alkenyl;

R8 is as defined for R7;

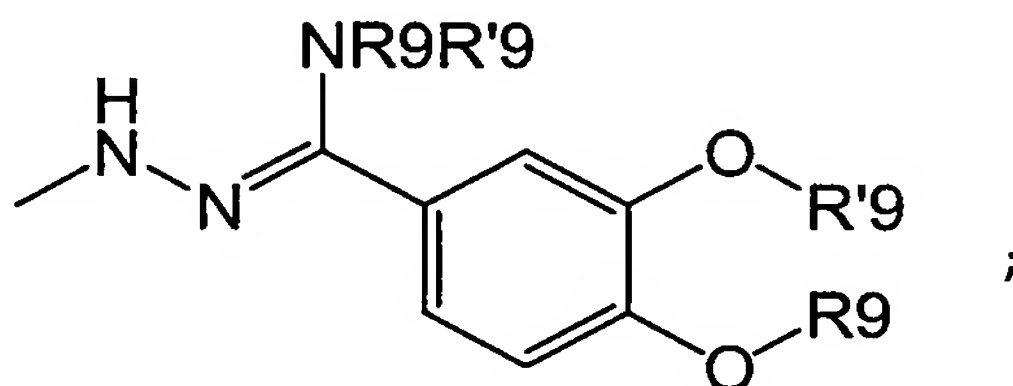
R9 is H or (C1-C32) alkyl and R'9 is H, (C1-C32) alkyl, (C2-
C32) alkenyl or (C6-C14) aryl, or R9 and R'9 as part of the

radical $-NR_9R'_9$ form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms;

R10 is selected from the group consisting of (C1-C32) alkyl, (C2-C32) alkenyl, $-(CH_2)_n-CO-R_{17}$, $-(CH_2)_n-NH-CO-R_9-O-R'_9$, and

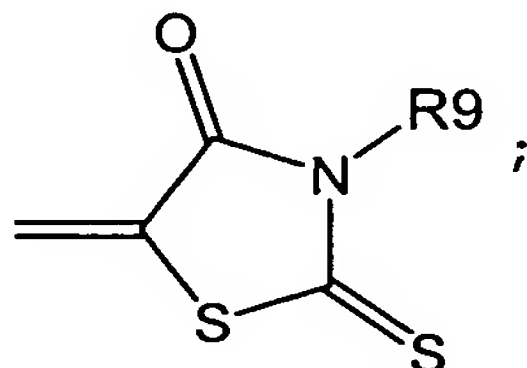


R11 is OH or



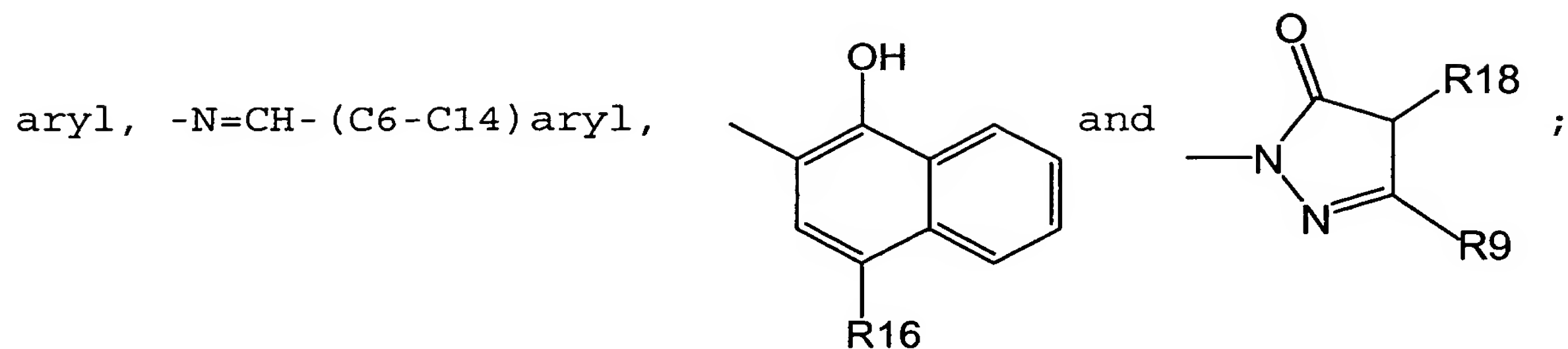
R12, R'12 and R''12 each is H or (C1-C32) alkyl, or R'12 and R''12

together are a radical



R13 is selected from the group consisting of (C1-C32) alkyl, (C6-C14)

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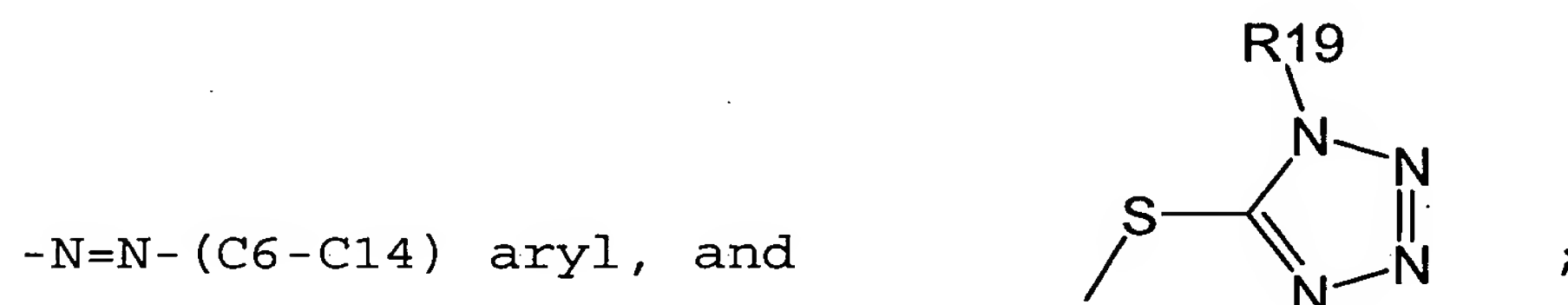


R'13 is $=O$, $=NH$ or $=N-NH-SO_2R'9$;

R14 is H, (C1-C32) alkyl, $-(CH_2)_m-CH(OH)-CH_2-NR_9R'9$ or $-(CH_2)_m-CH(OH)-(C_6-C_{14})$ aryl;

R15 is H or $-SO_3H$;

R16 is selected from the group consisting of H, halogen, $-COOH$, $-SO_3H$,



R17 is selected from the group consisting of (C1-C32) alkyl, (C6-C14) aryl, $-NH-NH-CO-(C_1-C_{32})$ alkyl, $-NH-NH-CO-(C_6-C_{14})$ aryl, $-(CH_2)_n-NH-CO-C(R_9)-O(C_1-C_{32})$ alkyl, $-(CH_2)_n-NH-CO-C(R_9)-O(C_6-C_{14})$ aryl, $-(CH_2)_n-CO-(C_1-C_{32})$ alkyl, and $-(CH_2)_n-CO-(C_6-C_{14})$ aryl;

R18 is H or $=N-(C_6-C_{14})$ aryl;

R19 is (C6-C14) aryl;

Y^- is a counter ion selected from the group consisting of chloride, bromide, iodide, perchlorate, tosylate, mesylate, sulfate, phosphate and an organic anion;

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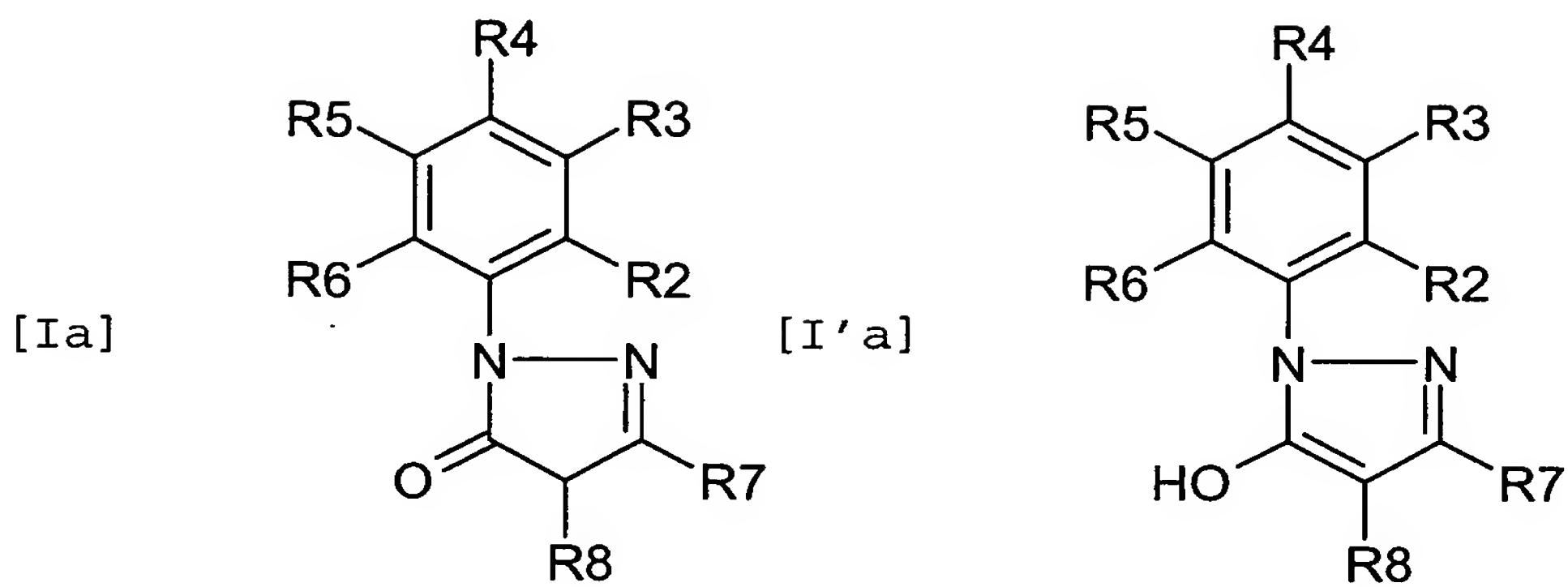
n is 0 or an integer from 1 to 10; m is an integer from 1 to 10; and

any "(C1-C32) alkyl" or "(C2-C32) alkenyl" may be straight or branched and may be interrupted by one or more heteroatoms selected from O, S and/or N, and/or substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl, (C6-C14) aryl, nitro, OR'9, SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO₃R'9, -SO₃R'9, -SO₂R'9, -NHSO₂R'9, -NR₉R'9, aziridine, =N-OR'9, =N-NR₉R'9, -NR₉-NR₉R'9, -(CH₂)_n-NR₉-COR'9, -(CH₂)_n-CO-NR₉R'9, -OPO₃R₉R'9, -PO₂HR'9 and -PO₃R₉R'9;

"heteroaryl" means a radical derived from a mono- or poly-cyclic heteroaromatic ring containing 1 to 3 heteroatoms selected from the group consisting of O, S and N; and any "aryl" or "heteroaryl" may be substituted by one or more radicals selected from the group consisting of halogen, (C6-C14) aryl, (C1-C32)alkyl, nitro, -OR'9, -SR'9, -COR'9, COOR'9, -OSO₃R'9, -SO₃R'9, -SO₂R'9, -NHSO₂R'9, -NR₉R'9, -(CH₂)_n-NR₉-COR'9, and -(CH₂)_n-CO-NR₉R'9;

~~and or~~ a pharmaceutically acceptable salt thereof.

2. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 1, comprising administering a compound of the formula Ia or I'a:



wherein

R2 is H, halogen, -NH₂ or -SO₃H;

R3 is H or -SO₃H;

R4 is H, halogen, -SO₃H, -SO₂-(C10-C22) alkyl or -O(C6-C14) aryl, wherein the aryl is unsubstituted or substituted by -O(C1-C8) alkyl;

R5 is H; R6 is H or halogen;

R7 is selected from the group consisting of:

(i) H;

(ii) (C10-C22) alkyl;

(iii) -COOH;

(iv) -NR₉-COR'₉, wherein R₉ is H and R'₉ is (C10-C22) alkyl optionally substituted by epoxy, (C10-C22) alkenyl optionally substituted by -COOH, or

(C6-C14) aryl optionally substituted by $-\text{SO}_3\text{H}$ or $-\text{NH}-\text{CO}-(\text{C}10-\text{C}22)$ alkyl; and

(v) (C6-C14) aryl optionally substituted by $-\text{SO}_3\text{H}$ or by $-\text{NR}_9-\text{COR}'_9$, wherein R_9 is H and R'_9 is (C10-C22) alkyl;

R_8 is selected from the group consisting of:

(i) H;

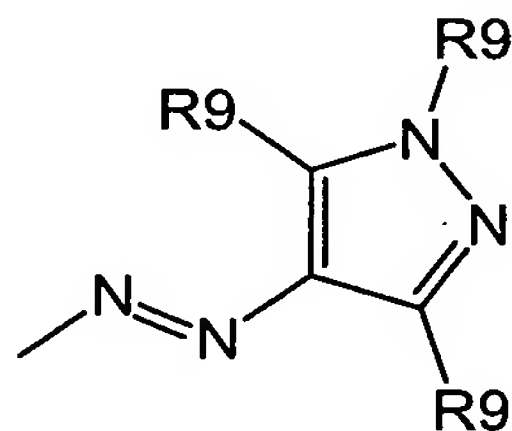
(ii) halogen;

(iii) (C2-C6) alkyl;

(iv) $-\text{O}(\text{C}10-\text{C}22)$ alkyl;

(v) (C6-C14) aryl optionally substituted by one or more halogen, $-\text{OR}'_9$, $-\text{COOR}'_9$, $-\text{SO}_3\text{R}'_9$, $-\text{NR}_9\text{R}'_9$ or $-\text{NR}_9\text{COR}'_9$, wherein R_9 and R'_9 each independently is H or (C10-C22) alkyl;

(vi)



wherein R_9 each independently is H or (C1-C12) alkyl; and

(vii) $-\text{N}=\text{N}-(\text{C}6-\text{C}14)$ aryl optionally substituted by one or more halogen, $-\text{OR}'_9$, $-\text{COOR}'_9$, $-\text{SO}_3\text{R}'_9$, $-\text{NH}\text{SO}_2\text{R}'_9$, $-\text{NR}_9\text{R}'_9$, or $-\text{NR}_9-\text{CO}-\text{R}'_9$, wherein R_9 and R'_9 each independently is H or (C1-C6)

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alkyl, or R'9 is (C6-C14) aryl substituted by methyl;

wherein any "(C10-C22) alkyl" as defined in R4, R7 and R8 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, COOR'9, -OSO₃R'9, -SO₃R'9, -SO₂R'9, -NHSO₂R'9, -NR₉R'9, aziridine, =N-OR'9, =N-NR₉R'9, -NR₉-NR₉R'9, -(CH₂)_n-NR₉-COR'9, -(CH₂)_n-CO-NR₉R'9, -OPO₃R₉R'9, -PO₂HR'9 and -PO₃R₉R'9; and wherein R₉ in this context is H or (C1-C32) alkyl and R'9 is H, (C1-C32) alkyl, (C2-C32) alkenyl or (C6-C14) aryl, or R₉ and R'9 as part of the radical -NR₉R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

3. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 2, ~~comprising a compound of formula Ia or I'a,~~ wherein:

R₂ is H, Cl, -NH₂, or -SO₃H;

R₃ is H or -SO₃H;

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R4 is H, Cl, $-\text{SO}_3\text{H}$, $-\text{SO}_2\text{C}_{16}\text{H}_{33}$ or phenoxy optionally substituted by ethoxy;

R5 is H, $-\text{COOH}$ or $-\text{SO}_3\text{H}$;

R6 is H or Cl;

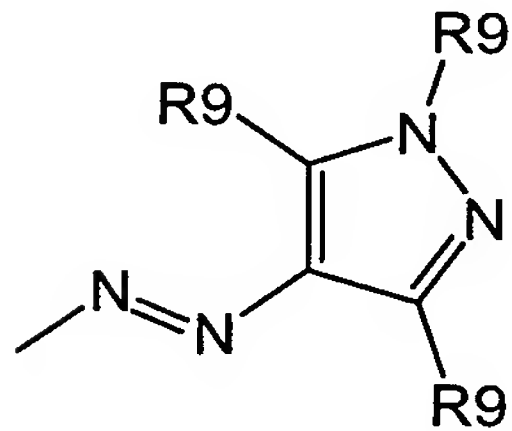
R7 is selected from the group consisting of:

- (i) H;
- (ii) (C17-C20) alkyl;
- (iii) $-\text{COOH}$;
- (iv) $-\text{NR}_9-\text{COR}'_9$, wherein R9 is H and R'9 is (C11-C20) alkyl optionally substituted by epoxy, (C16-C20) alkenyl optionally substituted by $-\text{COOH}$, or phenyl optionally substituted by $-\text{SO}_3\text{H}$ or $-\text{NH}-\text{CO}-\text{C}_{17}\text{H}_{35}$;
- (v) phenyl, optionally substituted by $-\text{SO}_3\text{H}$ or by $-\text{NR}_9-\text{COR}'_9$, wherein R9 is H and R'9 is (C17-C20) alkyl; and

R8 is selected from the group consisting of:

- (i) H;
- (ii) Br;
- (iii) isopropyl;
- (iv) $-\text{OC}_{16}\text{H}_{33}$;
- (v) phenyl, optionally substituted by one or more halogen, $-\text{OR}'_9$, $-\text{COOR}'_9$, $-\text{SO}_3\text{R}'_9$, $-\text{NR}_9\text{R}'_9$ or -

NR₉COR'₉, wherein R₉ and R'₉ each independently is H or -C₁₆H₃₃;



(vi)

wherein R₉ each independently is H, methyl or decenyl; and

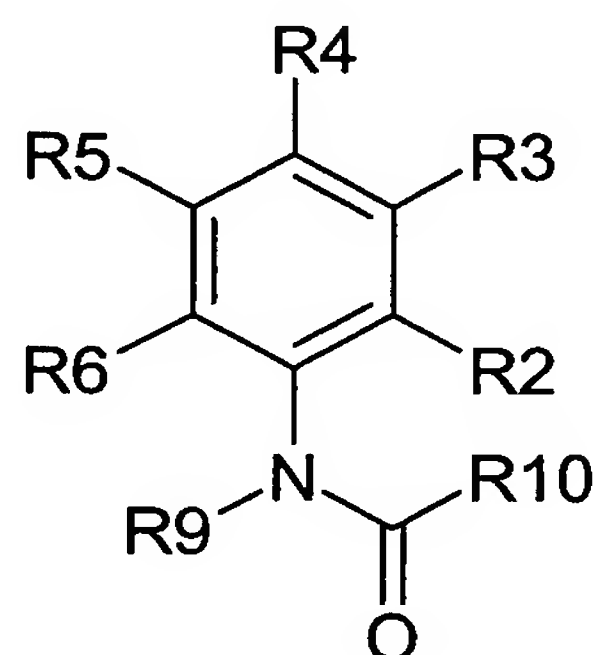
(vii) -N=N-phenyl optionally substituted by one or more Cl, -OR'₉, -COOR'₉, -SO₃R'₉, -NHSO₂R', -NR₉R'₉, or -NR₉-CO-R'₉, wherein R₉ and R'₉ each independently is H, methyl or ethyl, or R'₉ is phenyl substituted by methyl.

4. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 3, ~~comprising a~~wherein said compound of formula Ia is selected from the group of compounds herein designated Compounds Nos. 1, 5-22, 24-30, 54, 56, 69, 71, 83, 84, 85 and 100, or said compound of the formula I'a is the herein designated Compound No. 32.-

5. (Cancelled)

6. (Currently Amended) The ~~pharmaceutical composition~~ method according to claim 1, comprising administering a compound of the formula Ib:

[Ib]



wherein

R2 is selected from the group consisting of:

- (i) H;
- (ii) halogen;
- (iii) -OH;
- (iv) -O(C10-C22) alkyl;
- (v) -COOH;
- (vi) -NR₉R'₉, wherein R₉ and R'₉ each independently is H, or R₉ is (C1-C6) alkyl and R'₉ is H or (C10-C22) alkyl; and
- (vii) -O(C6-C14) aryl optionally substituted by one or more -COOH or -CO-NH₂;

R3 is H or -COOH;

R4 is selected from the group consisting of:

- (i) H;

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(ii) $-\text{SO}_3\text{H}$

(iii) $-\text{O}(\text{C}_6\text{-C}_{14})$ aryl optionally substituted by one or more COOH ;

(iv) $-\text{S}(\text{C}_6\text{-C}_{14})$ aryl optionally substituted by one or more COOH ; and

(v) $-\text{NR}_9\text{-CO-R}'_9$, wherein R_9 and R'_9 each independently is H or $(\text{C}_{10}\text{-C}_{22})$ alkyl;

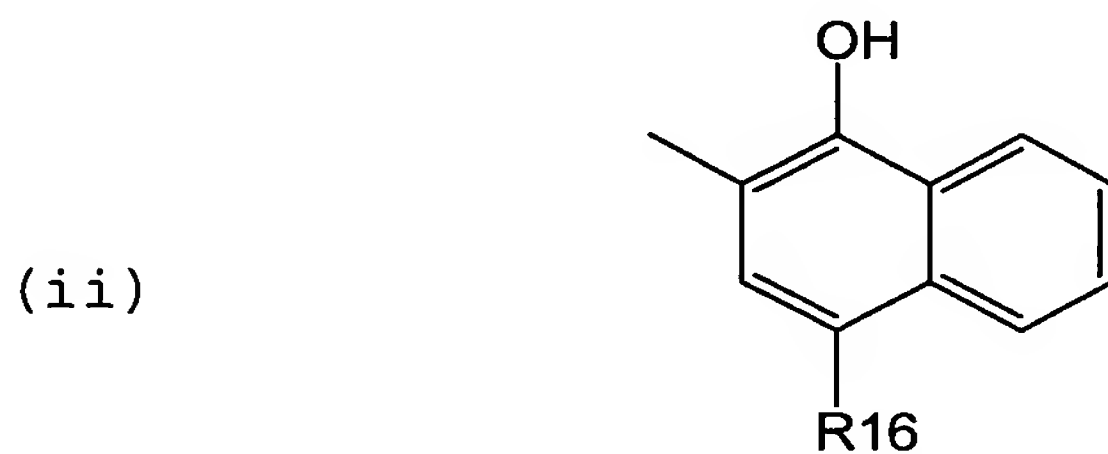
R_5 is H, $-\text{COOH}$, $-\text{SO}_3\text{H}$, or $-\text{NHSO}_2\text{-(C}_6\text{-C}_{14})$ aryl optionally substituted by one or more $-\text{COOH}$;

R_6 is H;

R_9 is H or $(\text{C}_{10}\text{-C}_{22})$ alkyl;

R_{10} is selected from the group consisting of:

(i) $(\text{C}_{10}\text{-C}_{22})$ alkyl optionally substituted by one or more radicals selected from the group consisting of halogen, OH, epoxy and epithio;



wherein R_{16} is H, halogen, $-\text{COOH}$, $-\text{SO}_3\text{H}$, $-\text{S-tetrazol-5-yl}$ optionally substituted by phenyl, or $-\text{N=N-}(\text{C}_6\text{-C}_{14})$ aryl optionally substituted by one or more radicals selected from the group consisting of

halogen, (C1-C6) alkyl, (C6-C14) aryl, -OH, -COOH, -COOR'9, -OR'9 and -NHSO₂R'9, wherein R'9 is (C1-C6) alkyl or phenyl optionally substituted by (C1-C6) alkyl;

(iii) -CH₂-CO-R17, wherein R17 is (C10-C22) alkyl, (C6-C14) aryl optionally substituted by -O-(C10-C22) alkyl or by -NH-CO-(C10-C22) alkyl; or -NH-NH-CO-(C10-C22) alkyl;

(iv) -NH-(C10-C22) alkyl; and

(v) (C10-C22) alkenyl optionally substituted by oxo;

wherein any "(C10-C22) alkyl" as defined in R2, R4, R9 and R10 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO₃R'9, -SO₃R'9, -SO₂R'9, -NHSO₂R'9, -NR₉R'9, aziridine, =N-OR'9, =N-NR₉R'9, -NR₉-NR₉R'9, -(CH₂)_n-NR₉-COR'9, -(CH₂)_n-CO-NR₉R'9, -OPO₃R₉R'9, -PO₂HR'9 and -PO₃R₉R'9; and wherein R₉ is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, -(C2-C32) alkenyl and -(C6-C14) aryl, or R₉ and R'9 as part of the radical -NR₉R'9 form together with the

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N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

7. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 6, ~~comprising a compound of formula Ib, wherein:~~

R2 is selected from the group consisting of:

(i) H;

(ii) Cl;

(iii) -OH;

(iv) -OC₁₈H₃₇;

(v) -COOH;

(vi) -NR₉R'₉, wherein R₉ is H or methyl and

R'₉ is -C₁₈H₃₇; and

(vii) phenoxy optionally substituted by one or more -COOH or -CO-NH₂;

R3 is H or -COOH;

R4 is selected from the group consisting of:

(i) H;

(ii) -SO₃H

(iii) phenoxy optionally substituted by one or more -COOH;

(iv) phenylthio optionally substituted by one or more $-\text{COOH}$; and

(v) $-\text{NR}_9-\text{CO}-\text{R}'_9$, wherein R_9 and R'_9 each independently is H or $-\text{C}_{17}\text{H}_{35}$;

R_5 is H, $-\text{COOH}$, $-\text{SO}_3\text{H}$, $-\text{NHSO}_2$ -phenyl optionally substituted by one or more $-\text{COOH}$;

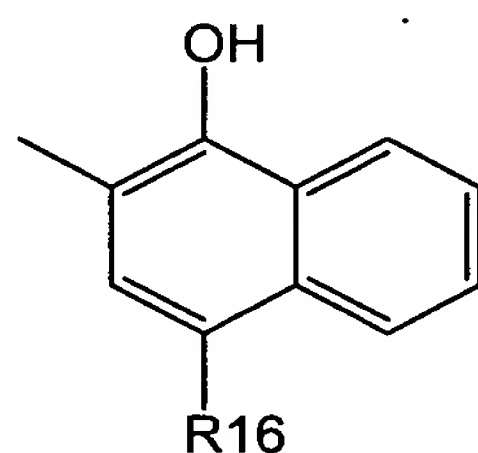
R_6 is H;

R_9 is H or $-\text{C}_{18}\text{H}_{37}$;

R_{10} is selected from the group consisting of:

(i) $-\text{C}_{17}\text{H}_{35}$, optionally substituted by one or more radicals selected from the group consisting of Cl, $-\text{OH}$, epoxy and epithio;

(ii)



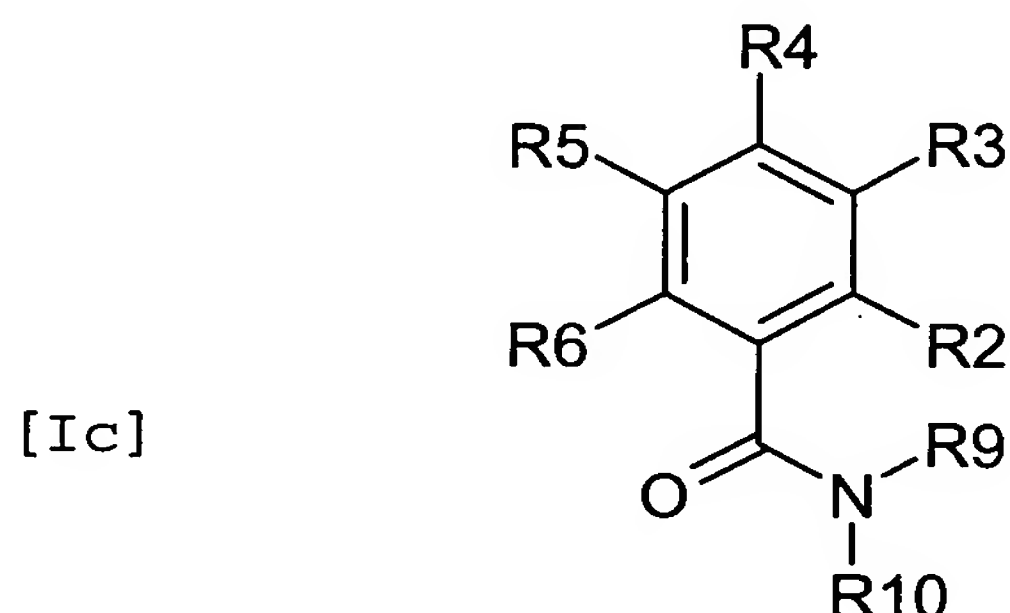
wherein R_{16} is H, Br, $-\text{COOH}$, $-\text{SO}_3\text{H}$, $-\text{S}$ -tetrazol-5-yl optionally substituted by phenyl, or $-\text{N}=\text{N}$ -phenyl optionally substituted by one or more radicals selected from the group consisting of Cl, methyl, phenyl, $-\text{OH}$, $-\text{COOH}$, $-\text{COOR}'_9$, $-\text{OR}'_9$ and $-\text{NHSO}_2\text{R}'_9$, wherein R'_9 is methyl or phenyl optionally substituted by methyl;

- (iii) $-\text{CH}_2-\text{CO}-\text{R}_{17}$, wherein R_{17} is selected from the group consisting of $-\text{C}_{17}\text{H}_{35}$, $-\text{C}_{18}\text{H}_{35}$, phenyl optionally substituted by $-\text{OC}_{18}\text{H}_{37}$ or by $-\text{NH}-\text{CO}-(\text{C}_{15}-\text{C}_{20})$ alkyl, preferably $-\text{NH}-\text{CO}-\text{C}_{17}\text{H}_{35}$, and $-\text{NH}-\text{NH}-\text{CO}-(\text{C}_{15}-\text{C}_{20})$ alkyl, preferably $-\text{NH}-\text{NH}-\text{CO}-\text{C}_{17}\text{H}_{35}$;
- (iv) $-\text{NH}-\text{C}_{18}\text{H}_{37}$; and
- (v) $(\text{C}_{16}-\text{C}_{20})$ alkenyl, preferably $-\text{C}_{17}\text{H}_{33}$ or $-\text{C}_{16}\text{H}_{31}$, optionally substituted by oxo.

8. (Currently Amended) The ~~pharmaceutical composition-method~~ according to claim 7, comprising administration of: (i) a compound wherein R_{10} is $-\text{C}_{17}\text{H}_{35}$, selected from the group of compounds herein designated **Compounds Nos. 61, 87, 92, 93, 95 and 96**; (ii) a compound wherein R_{10} is 1-hydroxy-4- R_{18} -2-naphthyl, selected from the group of compounds herein designated **Compounds Nos. 3, 33, 34, 40, 41, 43, 45, 46, 47, 49, 50, 52, 53, 55, 62, 63 and 77**; (iii) a compound wherein R_{10} is $-\text{CH}_2-\text{CO}-\text{R}_{17}$, selected from the group of compounds herein designated **Compounds Nos. 2, 23, 44, 51, 60 and 64**; (iv) the compound herein designated **Compound No. 70**, wherein R_{10} is $-\text{NH}-\text{C}_{18}\text{H}_{37}$; or (v) a compound wherein R_{10} is $(\text{C}_{10}-\text{C}_{22})$ alkenyl, selected from the group of compounds herein designated **Compounds Nos. 86 and 94**.

Claims 9-12 (Cancelled)

13. (Currently Amended) The ~~pharmaceutical composition-method~~ according to claim 1, comprising administration of a compound of the formula Ic:



wherein

R2, R3, R4, R5, and R6 each independently represents hydrogen, halogen, nitro, (C1-C32) alkyl, (C2-C32) alkenyl, (C6-C14) aryl, heteroaryl, -OR₉', -SR₉', -NR₉R₉', -(CH₂)_n-NR₉-COR₉', -COR₉', -COOR₉', -(CH₂)_n-CO-N(R₉)(R₉'); -SO₃R₉', -SO₂R₉', or -NHSO₂R₉;

or R3 and R4 together with the carbon atoms to which they are attached form a condensed benzene ring;

R₉ is H or (C1-C32) alkyl and R₉' is H, (C1-C32) alkyl, (C2-C32) alkenyl or (C6-C14) aryl, or R₉ and R₉' as part of the radical -NR₉R₉' form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms;

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R10 is

- (i) (C10-C22) alkyl; or
- (ii) $-(\text{CH}_2)_n-\text{NH}-\text{CO}-\text{R}_9-\text{O}-\text{R}'_9$, wherein R_9 is (C1-C6) alkyl, R'_9 is (C6-C14) aryl substituted by $-\text{C}_{15}\text{H}_{31}$; and n is an integer of 1 to 6;

and wherein the "(C1-C32) alkyl" and "(C2-C32) alkenyl" as defined in R2 to R6 and R_9 and the "(C10-C22) alkyl" as defined in R10 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, $-\text{OR}'_9$, $-\text{SR}'_9$, epoxy, epithio, oxo, $-\text{COR}'_9$, COOR'_9 , $-\text{OSO}_3\text{R}'_9$, $-\text{SO}_3\text{R}'_9$, $-\text{SO}_2\text{R}'_9$, $-\text{NHSO}_2\text{R}'_9$, $-\text{NR}_9\text{R}'_9$, aziridine, $=\text{N}-\text{OR}'_9$, $=\text{N}-\text{NR}_9\text{R}'_9$, $-\text{NR}_9-\text{NR}_9\text{R}'_9$, $-(\text{CH}_2)_n-\text{NR}_9-\text{COR}'_9$, $-(\text{CH}_2)_n-\text{CO}-\text{NR}_9\text{R}'_9$, $-\text{OPO}_3\text{R}_9\text{R}'_9$, $-\text{PO}_2\text{HR}'_9$ and $-\text{PO}_3\text{R}_9\text{R}'_9$; and wherein R_9 is H or (C1-C32) alkyl and R'_9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R_9 and R'_9 as part of the radical $-\text{NR}_9\text{R}'_9$ form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10; and wherein any "(C6-C14) aryl" as defined in R2 to R6 and R_9 may be substituted by one or more radicals selected from the

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group consisting of halogen, (C6-C14) aryl, (C1-C32) alkyl, nitro, OR'9, SR'9, -COR'9, COOR'9, -SO₃R'9, -SO₂R'9, -NHSO₂R'9, -NR9R'9, -(CH₂)_n-NR9-COR'9, and -(CH₂)_n-CO-NR9R'9.

14. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 13, ~~comprising a compound of formula Ic, wherein~~

R2 is OH;

R3 and R4 together with the carbon atoms to which they are attached form a condensed benzene ring;

R5 is H or -SO₃H;

R6 and R9 each is H; and

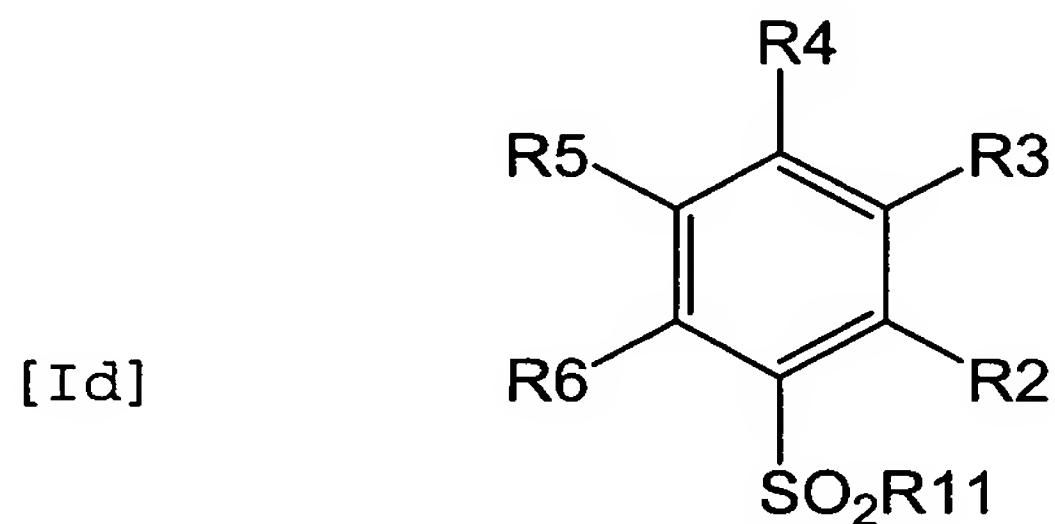
R10 is

(i) -C₁₈H₃₇; or

(ii) -(CH₂)_n-NH-CO-R9-O-R'9, wherein R9 is -CH(C₂H₅) and R'9 is phenyl substituted by -C₁₅H₃₁; and n is 3.

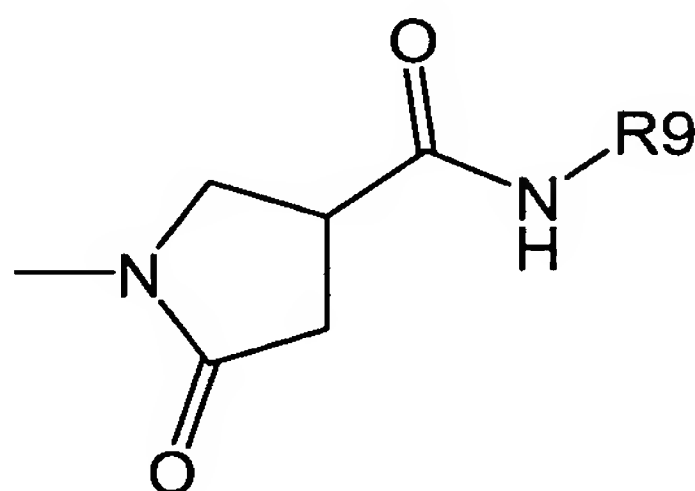
15. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 14, comprising administering the compound herein designated **Compound No. 31** or No. 72.

16. Currently Amended) The pharmaceutical ~~composition~~method according to claim 1, comprising administering a compound of the formula Id:



wherein R2 is H;

R3 is H, -COOH, -NH₂, or

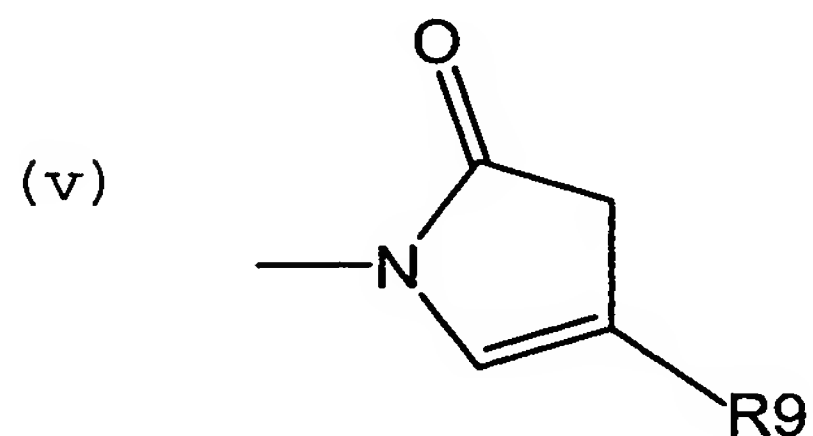


, wherein R9 is

(C10-C22) alkyl;

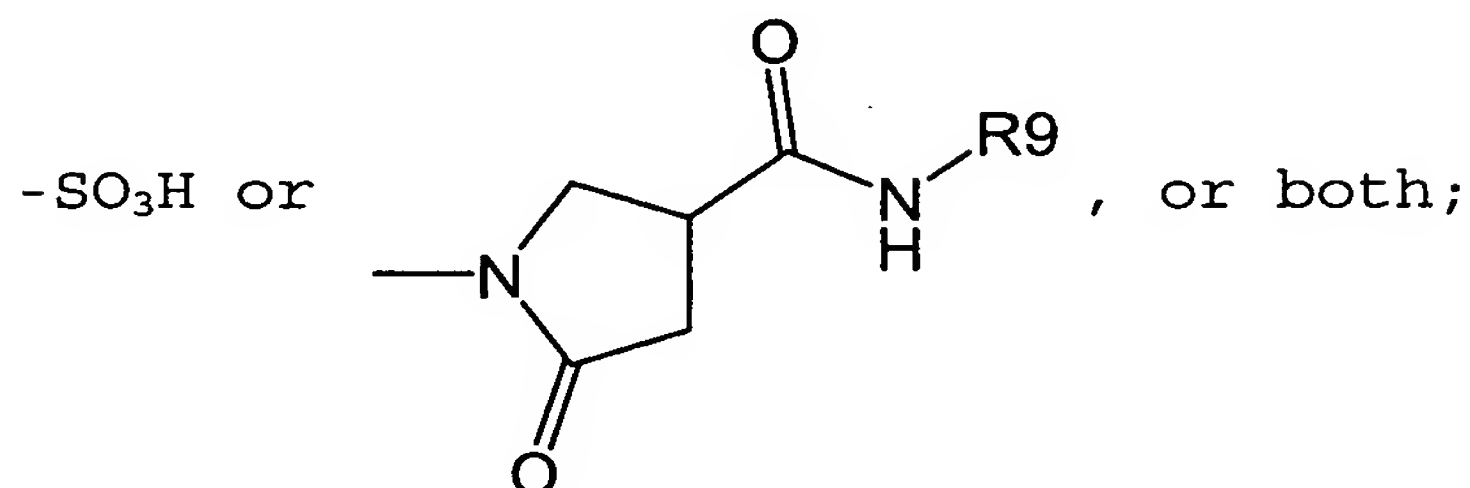
R4 is selected from the group consisting of:

- (i) H;
- (ii) -O-(C10-C22) alkyl;
- (iii) -NH-(C10-C22) alkyl;
- (iv) -SO₂-(C10-C22) alkyl;



wherein R9 is (C10-C22) alkyl; and

(v) phenoxy optionally substituted by

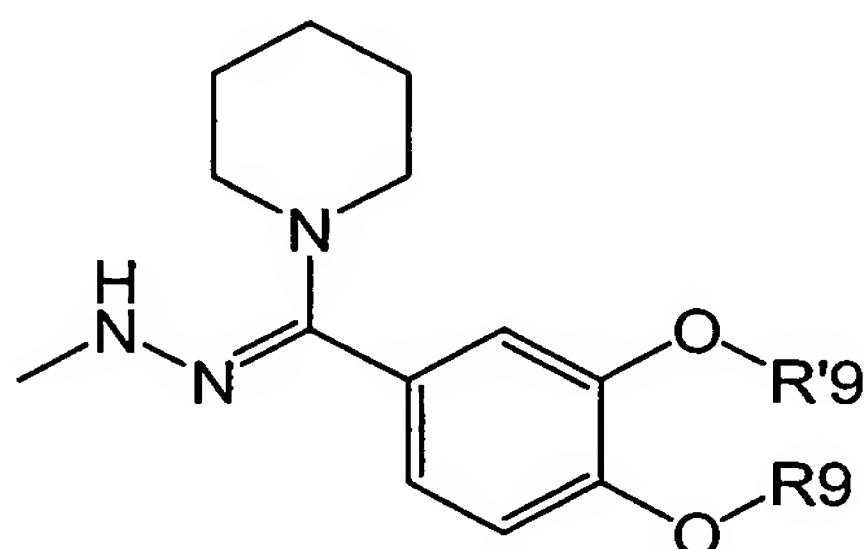


wherein R9 is (C10-C22) alkyl;

R5 is H, -COOH or -NH₂;

R6 is H or phenoxy optionally substituted by halogen, -COOH or -CONH₂;

R11 is OH or



wherein R9 is (C10-C22) alkyl and R'9 is (C1-C6) alkyl;

wherein any "(C10-C22) alkyl" as defined in R4 and R9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl

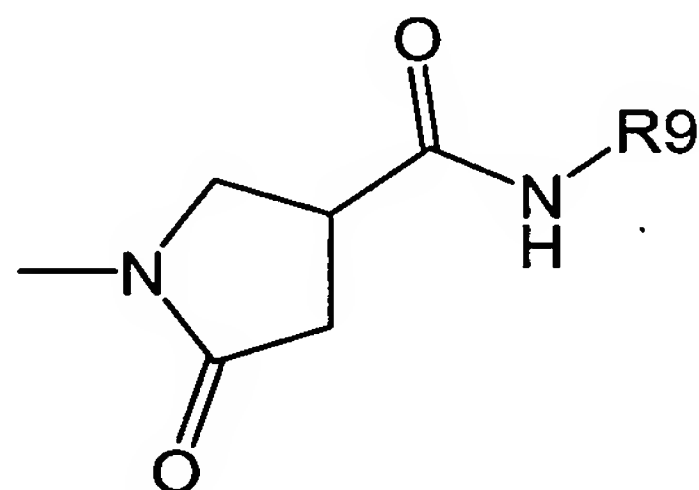
In re of: VAN GELDER1A

preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO₃R'9, -SO₃R'9, -SO₂R'9, -NHSO₂R'9, -NR₉R'9, aziridine, =N-OR'9, =N-NR₉R'9, -NR₉-NR₉R'9, -(CH₂)_n-NR₉-COR'9, -(CH₂)_n-CO-NR₉R'9, -OPO₃R₉R'9, -PO₂HR'9 and -PO₃R₉R'9; and wherein R₉ is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R₉ and R'9 as part of the radical -NR₉R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

17. Currently Amended) The ~~pharmaceutical composition~~method according to claim 16, ~~comprising a compound of formula Id, wherein:~~

R₂ is H;

R₃ is H, -COOH, -NH₂ or



, wherein R₉ is -C₁₈H₃₇;

R₄ is selected from the group consisting of:

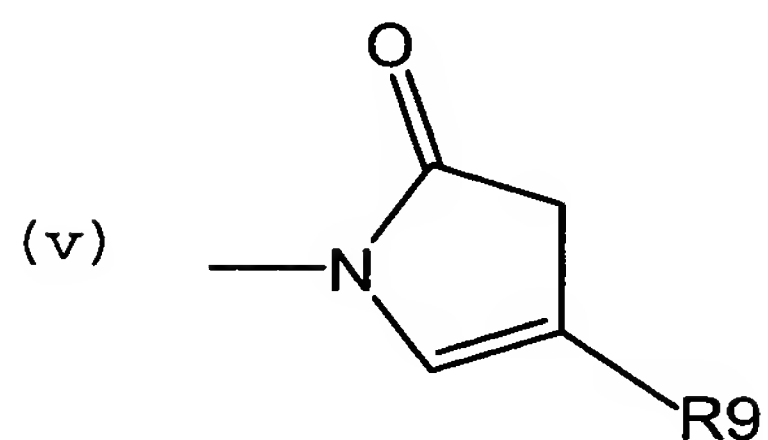
(i) H;

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(ii) $-O-C_{16}H_{33}$;

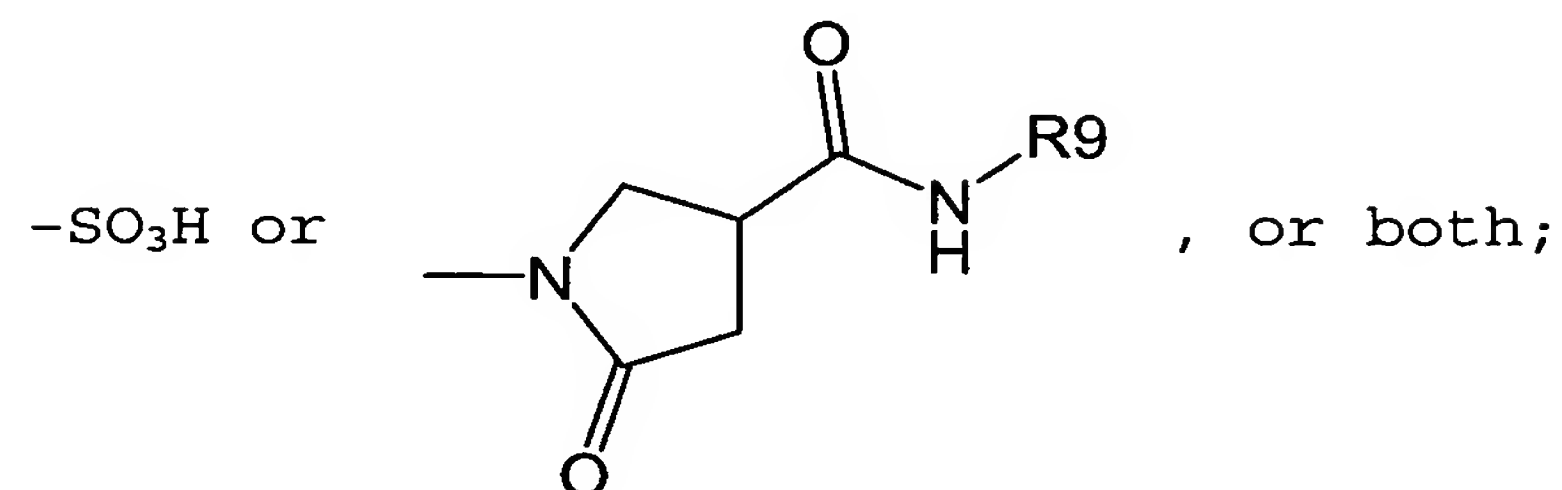
(iii) $-NH-C_{19}H_{39}$;

(iv) $-SO_2-C_{16}H_{33}$;



wherein R9 is $-C_{15}H_{31}$; and

(vi) phenoxy, optionally substituted by

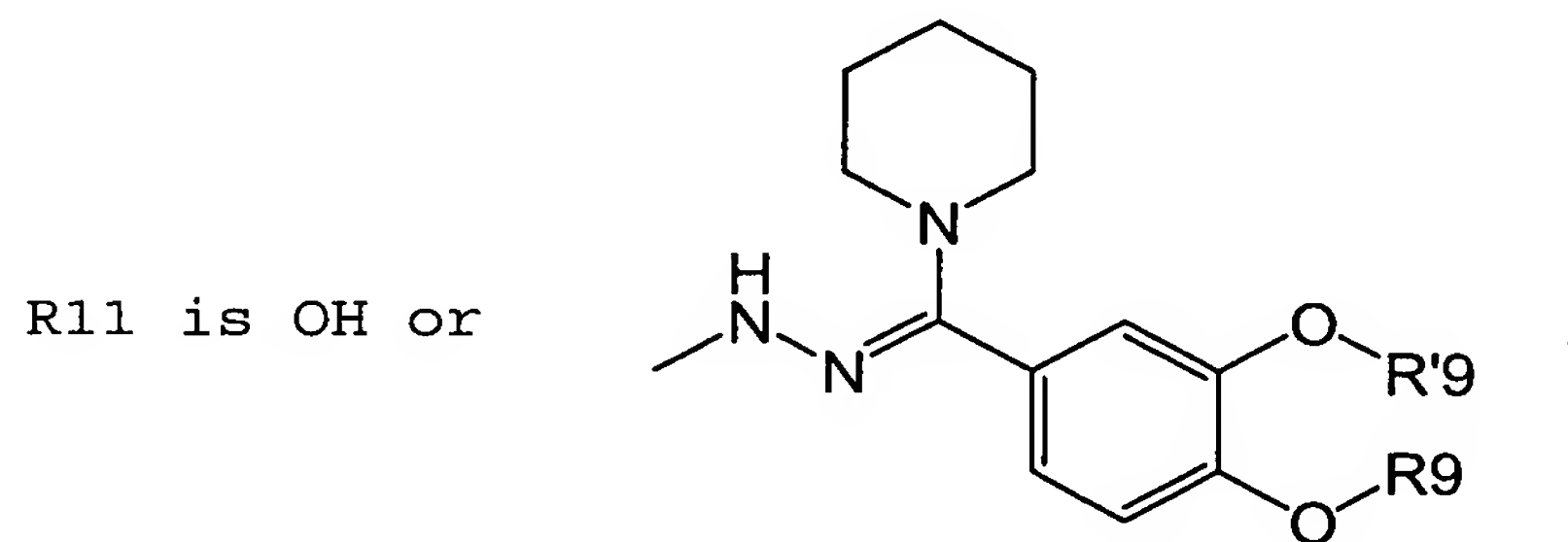


wherein R9 is $-C_{18}H_{37}$;

R5 is H, $-COOH$, or $-NH_2$;

R6 is H or phenoxy optionally substituted by halogen, $-COOH$ or $-CONH_2$;

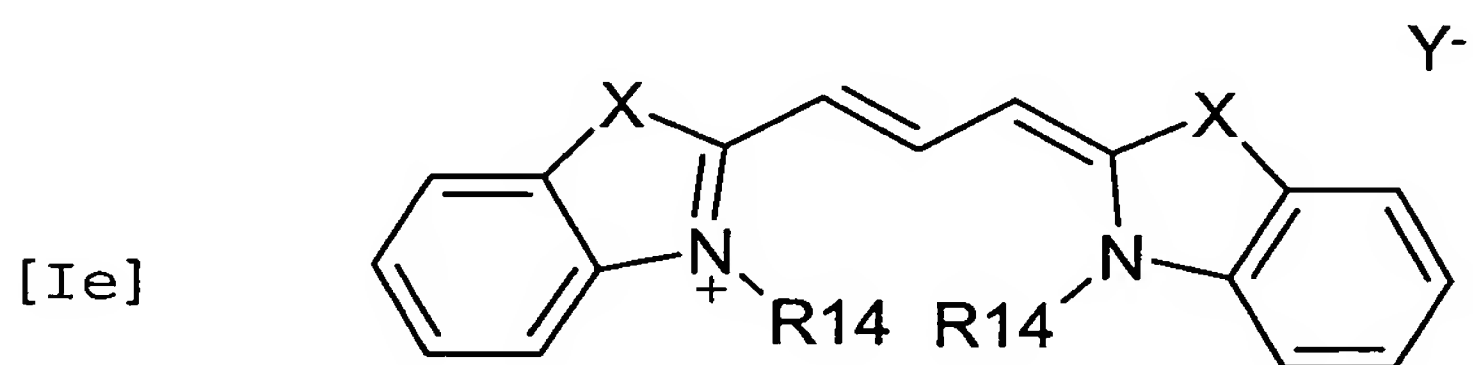
and



wherein R9 is $-C_{16}H_{33}$ and R'9 is methyl.

18. Currently Amended) The ~~pharmaceutical composition~~method according to claim 17, comprising administering a compound selected from the group of compounds herein designated **Compounds Nos. 75, 76, 88, 89, 101, 103, 104, 105, 106 and 107.**

19. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 1, comprising administering a compound of the formula Ie:



wherein

X is O or S;

R14 is (C10-C22) alkyl; and

Y⁻ is a counter ion selected from the group consisting of chloride, bromide, iodide, perchlorate, tosylate, mesylate, sulfate, phosphate and an organic anion;

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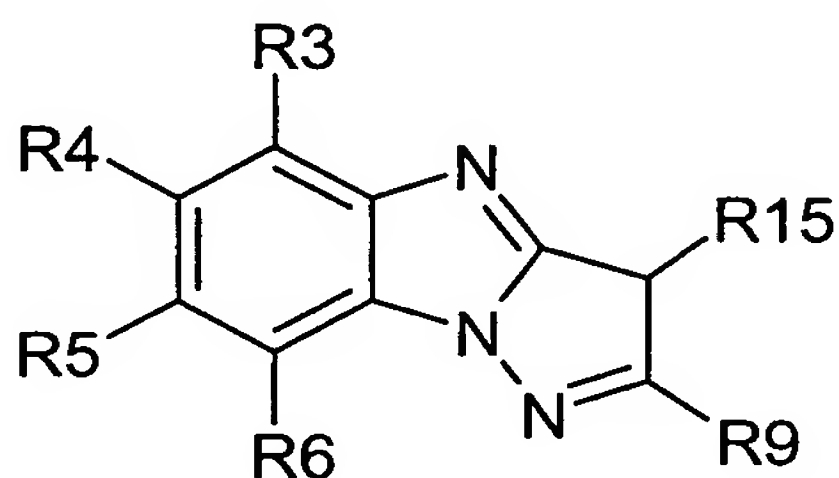
and wherein the "(C10-C22) alkyl" as defined in R14 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl, preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO₃R'9, -SO₃R'9, -SO₂R'9, -NHSO₂R'9, -NR₉R'9, aziridine, =N-OR'9, =N-NR₉R'9, -NR₉-NR₉R'9, -(CH₂)_n-NR₉-COR'9, -(CH₂)_n-CO-NR₉R'9, -OPO₃R₉R'9, -PO₂HR'9 and -PO₃R₉R'9; and wherein R₉ is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R₉ and R'9 as part of the radical -NR₉R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

20. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 19 comprising administering a compound of formula Ie, wherein X is O or S; R14 is -C₁₈H₃₇; and Y⁻ is perchlorate, said compounds herein designated as Compound No. 66 or 67, respectively.

21. (Cancelled)

22. (Currently Amended) The pharmaceutical ~~composition~~method according to claim 1, comprising administering a compound of the formula If:

[If]



wherein

R3 and R5 each is H;

R4 is H, -COOH or -SO₃H;

R6 is H or -COOH;

R9 is H or (C10-C22) alkyl; and

R15 is H or -SO₃H;

and wherein the "(C10-C22) alkyl" as defined in R9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO₃R'9, -SO₃R'9, -SO₂R'9, -NHSO₂R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -

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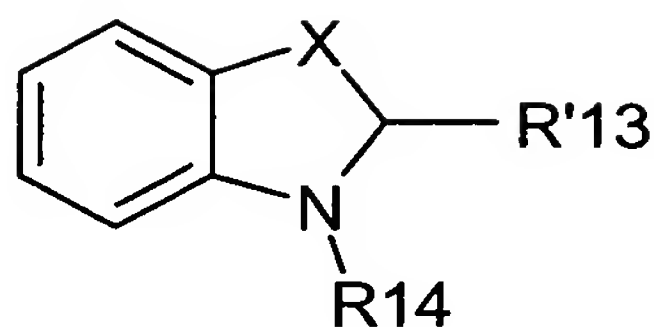
NR₉-NR₉R'₉, -(CH₂)_n-NR₉-COR'₉, -(CH₂)_n-CO-NR₉R'₉, -OPO₃R₉R'₉, -PO₂HR'₉ and -PO₃R₉R'₉; and wherein R₉ is H or (C1-C32) alkyl and R'₉ is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R₉ and R'₉ as part of the radical -NR₉R'₉ form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

23. (currently Amended) The ~~pharmaceutical composition~~method according to claim 22, ~~comprising a compound of formula If,~~ wherein R₃ and R₅ are H; R₆ is H or -COOH; R₄ is H, COOH or -SO₃H; R₉ is H or -C₁₇H₃₅; and R₁₅ is H or -SO₃H.

24. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 23, comprising administering a compound selected from the compounds herein designated **Compounds Nos. 4, 35 and 36.**

25. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 1, comprising administering a compound of the formula Ig:

[Ig]



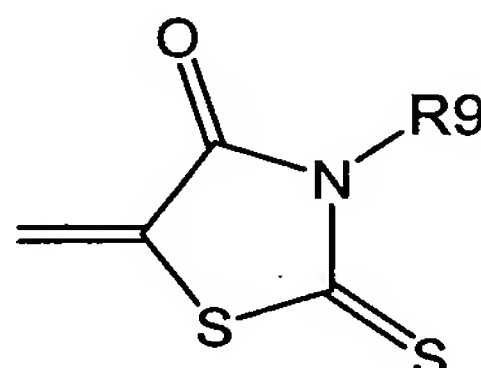
wherein

X is NR₁₂ or CR'₁₂R''₁₂;

R₁₂ is (C₁₀-C₂₂) alkyl;

R'₁₂ and R''₁₂ each is (C₁-C₆) alkyl, or R'₁₂ and R''₁₂

together are a radical



wherein R₉ is H or (C₁₀-C₂₂) alkyl substituted by -COOH;

R'₁₃ is selected from the group consisting of =O, =NH and =N-NH-SO₂-(C₆-C₁₄) aryl, wherein the aryl is either substituted by -COOH and -O-(C₁₀-C₂₂) alkyl, or by -NH-SO₂-phenyl, wherein the phenyl is substituted by -COOH and -O-(C₁₀-C₂₂) alkyl; and

R₁₄ is (C₁-C₈) alkyl or -CH₂-CH(OH)-(C₆-C₁₄) aryl substituted by one or more (C₁-C₆) alkoxy;

wherein any "(C₁₀-C₂₂) alkyl" as defined in R₁₂ and R'₁₃ may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C₃-C₇) cycloalkyl, preferably cyclopropyl, (C₆-C₁₄) aryl, nitro, -OR'₉, -SR'₉,

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epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO₃R'9, -SO₃R'9, -SO₂R'9, -NHSO₂R'9, -NR₉R'9, aziridine, =N-OR'9, =N-NR₉R'9, -NR₉-NR₉R'9, -(CH₂)_n-NR₉-COR'9, -(CH₂)_n-CO-NR₉R'9, -OPO₃R₉R'9, -PO₂HR'9 and -PO₃R₉R'9; and wherein R₉ is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R₉ and R'9 as part of the radical -NR₉R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

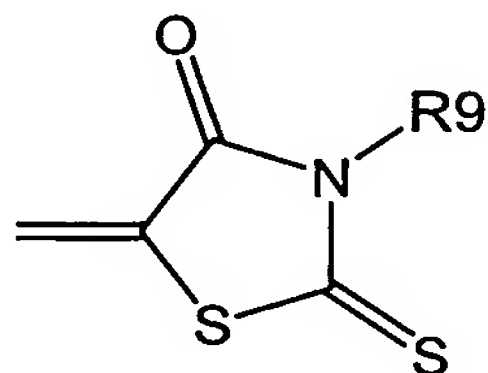
26. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 25, ~~comprising a compound of formula Ig, wherein~~

X is NR₁₂ or CR'₁₂R''₁₂;

R₁₂ is -C₁₆H₃₃;

R'₁₂ and R''₁₂ each is methyl, or R'₁₂ and R''₁₂

together are a radical



wherein R₉ is H or -C₁₀H₂₀-COOH;

R'₁₃ is =O, =NH or =N-NH-SO₂-phenyl, wherein the phenyl is either substituted by -COOH and -OC₁₈H₃₇, or by -NH-

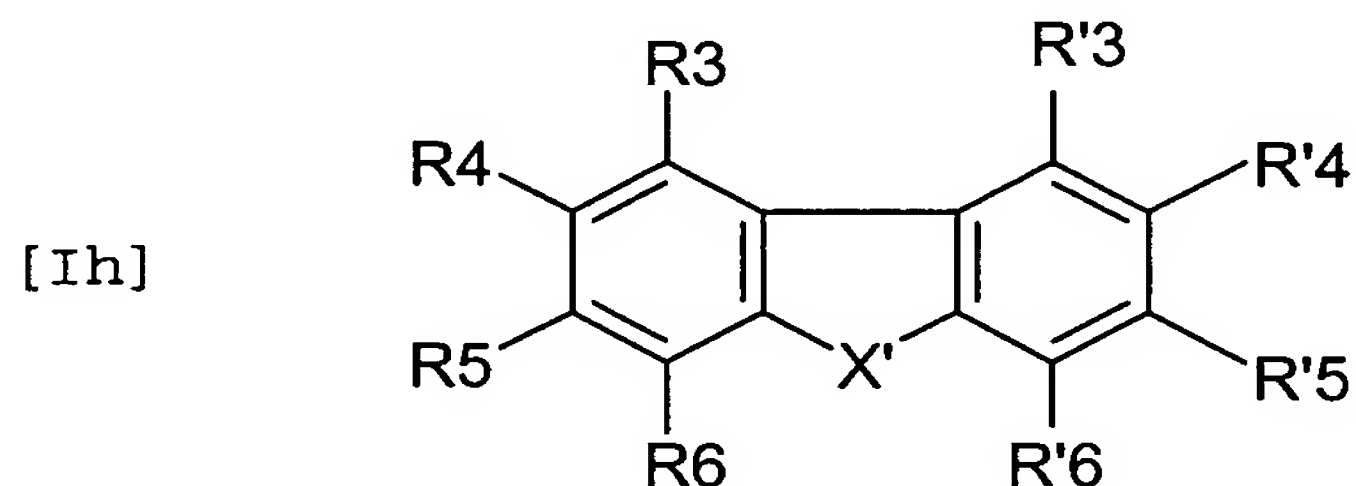
In re of: VAN GELDER1A

SO₂-phenyl, wherein the phenyl is substituted by -COOH and -OC₁₈H₃₇; and

R₁₄ is methyl or ethyl, or -CH₂-CH(OH)-phenyl substituted by one or more methoxy groups.

27. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 26, comprising administering a compound selected from the group of compounds herein designated **Compounds Nos. 48, 59 65 and 82.**

28. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 1, comprising administering a compound of the formula Ih:



wherein

X' is O or NR₁₄;

R₃, R₄, R₅, R'₃ and R'₅ each is H or halogen;

R'₄ is H, halogen or (C₁₀-C₂₂) alkenyl;

R₆ and R'₆ each is H or -COOH; and

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R14 is (C10-C22) alkyl interrupted by one or more N atoms and substituted by hydroxy;
and wherein the "(C10-C22) alkenyl" as defined in R'4 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO3R'9, -SO3R'9, -SO2R'9, -NHSO2R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, -(CH2)_n-NR9-COR'9, -(CH2)_n-CO-NR9R'9, -OPO3R9R'9, -PO2HR'9 and -PO3R9R'9; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

29. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 28, ~~comprising a compound of formula Ih, wherein:~~

X' is O or NR14;

R3, R4, R5, R'3 and R'5 each is H, Cl or Br;

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R'4 is selected from the group consisting of H, Cl, Br and $-C_{20}H_{39}$;

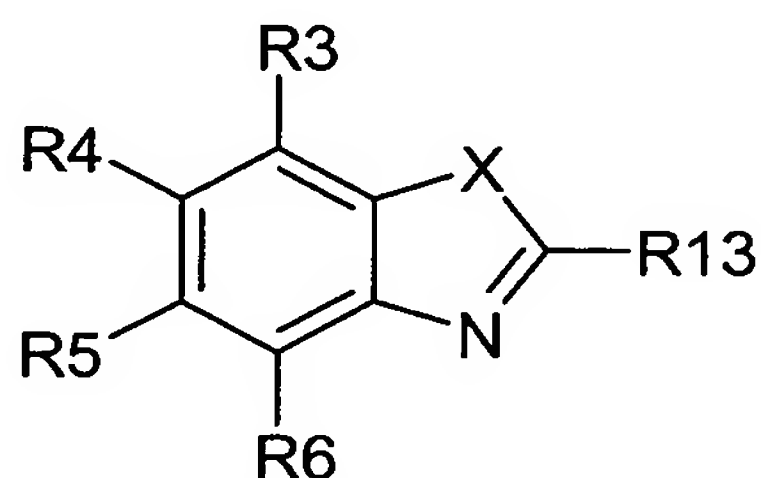
R6 and R'6 each is - H or $-COOH$; and

R14 is $C_{10}H_{21}-NH-CH_2-CH(OH)-CH_2-$ or $C_{18}H_{37}-NH-CH_2-CH(OH)-CH_2-$.

30. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 29 comprising administering a compound selected from the group of compounds herein designated **Compounds Nos. 68, 90 and 91.**

31. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 1, comprising administering a compound of the formula Ii:

[Ii]



wherein

X is O, S or NR_{12} ;

R4 is H or $-SO_3H$;

R6 is H;

R3 is H or $-COOH$;

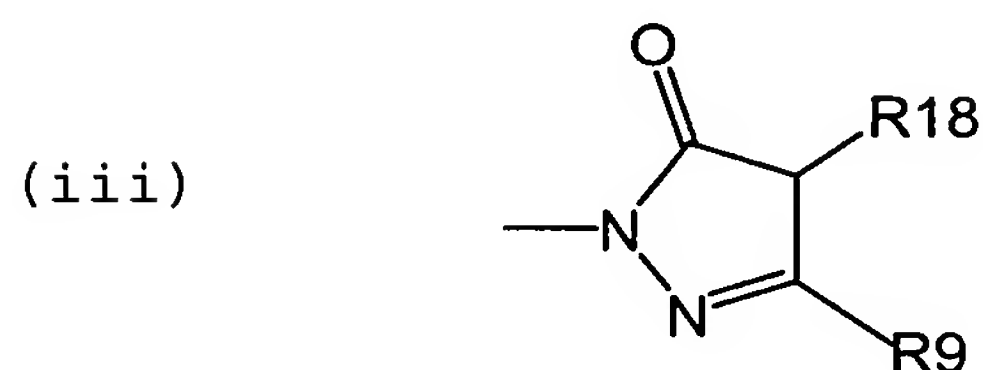
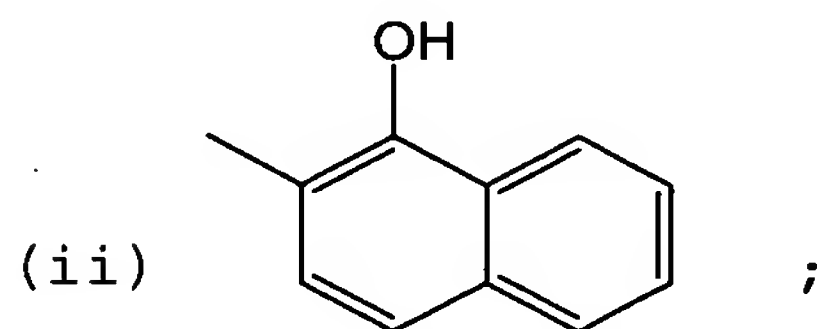
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R5 is H, -COOH or -SO₃H;

R12 is H or (C10-C22) alkyl;

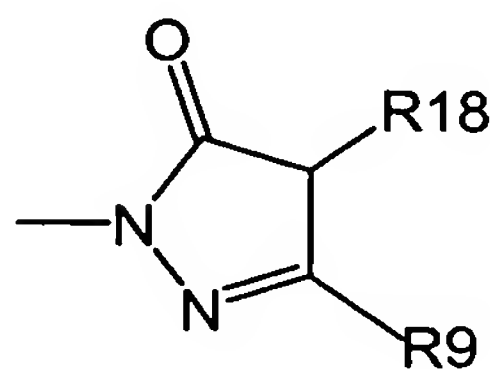
R13 is selected from the group consisting of:

(i) (C1-C6) alkyl;



wherein R9 is (C10-C22) alkyl and R18 is H or =N-(C6-C14) aryl wherein the aryl is optionally substituted by -NR₉R'₉, wherein R9 and R'₉ each is (C1-C6) alkyl;

(iv) (C6-C14) aryl, optionally substituted by,



wherein R9 is (C10-C22) alkyl and R18 is =N-(C6-C14) aryl, wherein the aryl is optionally substituted by

-NR⁹R'⁹, wherein R⁹ and R'⁹ each is (C1-C6) alkyl;

and

(v) -N=CH-(C6-C10) aryl substituted by one or more halogen and -OH or by one or more -OH and nitro;

wherein any "(C10-C22) alkyl" as defined in R12 and R13 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'⁹, -SR'⁹, epoxy, epithio, oxo, -COR'⁹, -COOR'⁹, -OSO₃R'⁹, -SO₃R'⁹, -SO₂R'⁹, -NHSO₂R'⁹, -NR⁹R'⁹, aziridine, =N-OR'⁹, =N-NR⁹R'⁹, -NR⁹-NR⁹R'⁹, -(CH₂)_n-NR⁹-COR'⁹, -(CH₂)_n-CO-NR⁹R'⁹, -OPO₃R⁹R'⁹, -PO₂HR'⁹ and -PO₃R⁹R'⁹; and wherein R⁹ is H or (C1-C32) alkyl and R'⁹ is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R⁹ and R'⁹ as part of the radical -NR⁹R'⁹ form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

32. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 31, ~~comprising a compound of formula Ii, wherein:~~

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X is O, S or NR₁₂;

R₄ is H or -SO₃H;

R₆ is H;

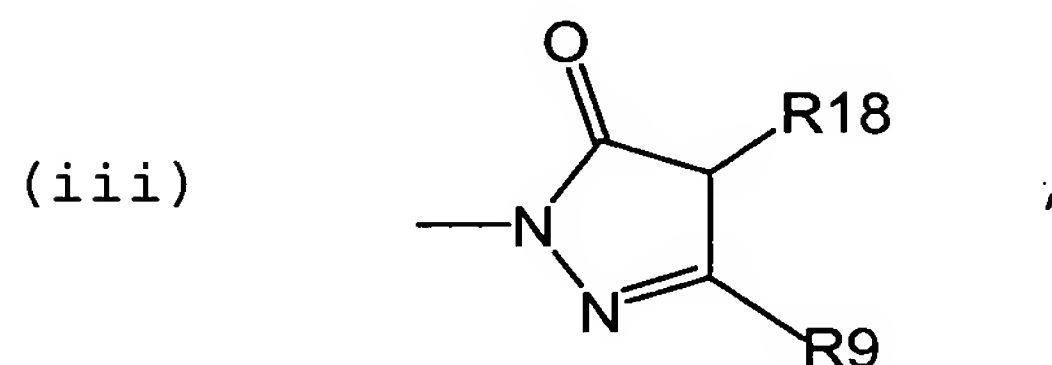
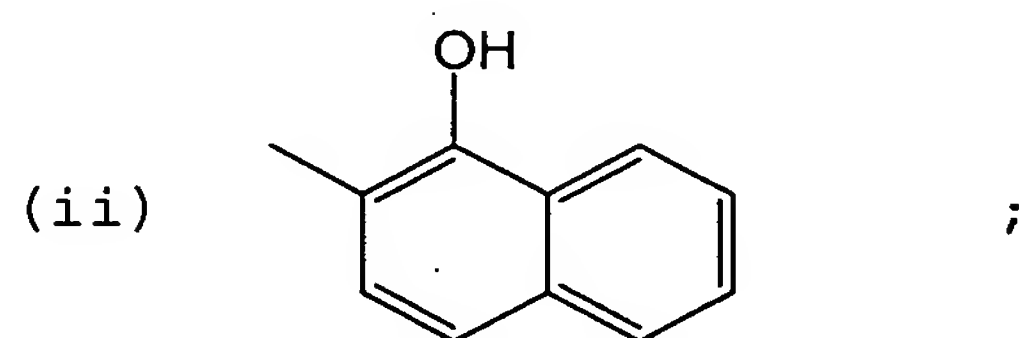
R₃ is H or -COOH;

R₅ is H, -COOH or -SO₃H;

R₁₂ is H, -C₁₆H₃₃ or -C₁₈H₃₇;

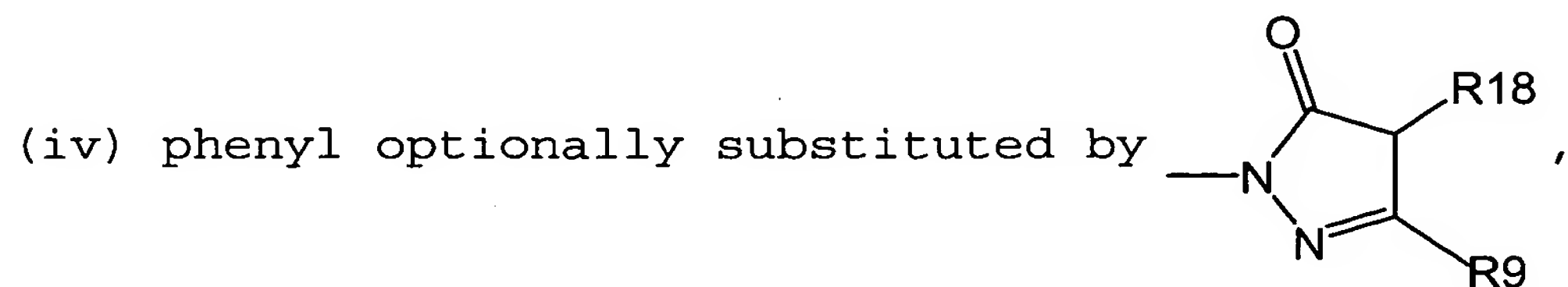
R₁₃ is selected from the group consisting of:

(i) methyl;



wherein R₉ is -C₁₇H₃₅ and R₁₈ is H or =N-phenyl,

wherein the phenyl is optionally substituted by -NR₉R'₉, wherein R₉ and R'₉ each is ethyl;

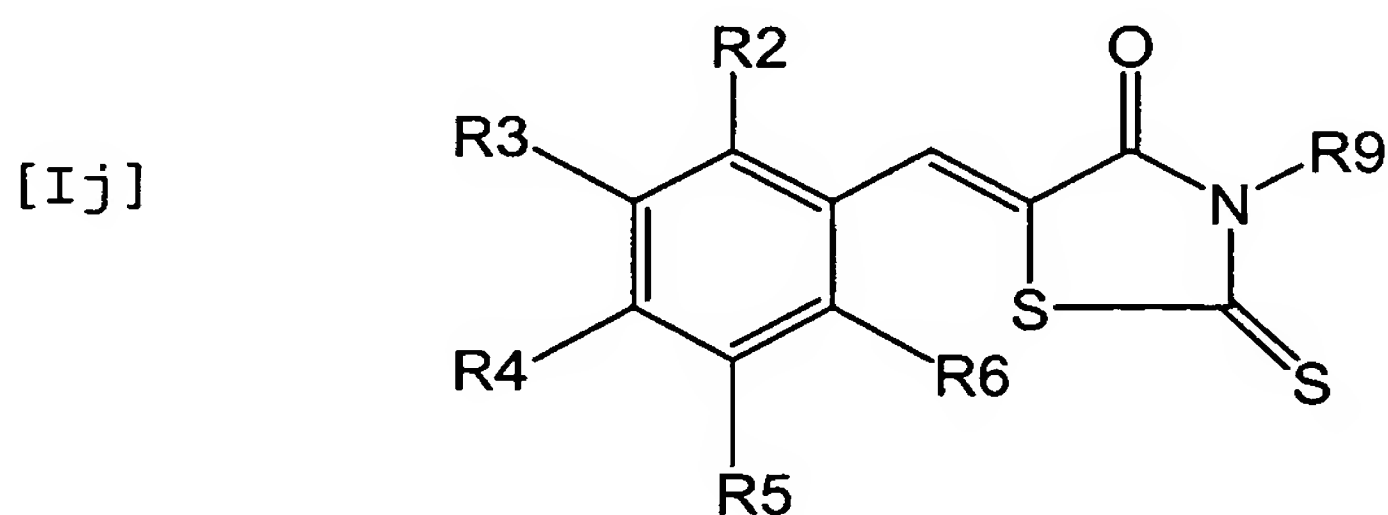


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wherein R9 is -C₁₇H₃₅ and R18 is =N-phenyl, wherein the phenyl is optionally substituted by -NR₉R'₉, wherein R9 and R'₉ each is ethyl; and
(v) -N=CH-phenyl optionally substituted by -OH and one or more Cl or Br, or naphthyl optionally substituted by -OH or nitro, or both.

33. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 32, comprising administering a compound selected from the compounds herein designated **Compounds Nos. 37, 38, 39, 42, 57, 58, 73 and 102.**

34. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 1, comprising administering a compound of the formula Ij:



wherein

R2, R4, R5 and R6 each is H;

R3 is H or halogen; and

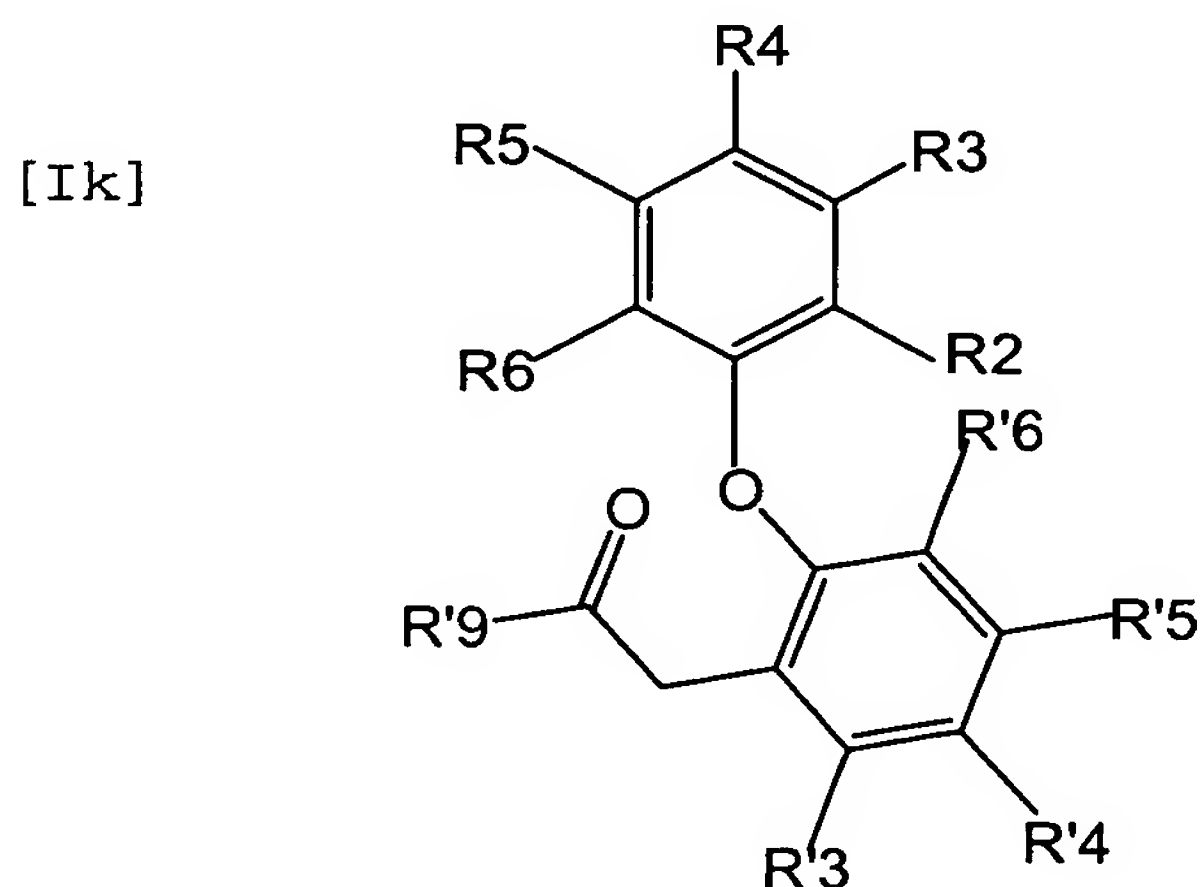
In re of: VAN GELDER1A

R9 is H or (C10-C22) alkyl substituted by -COOH.

35. (Currently Amended) The ~~pharmaceutical~~
~~composition~~method according to claim 34, ~~comprising a compound~~
~~of formula Ij~~, wherein R2, R4, R5 and R6 each is H; R3 is H or
Br; and R9 is H or -C₁₀H₂₀-COOH.

36. (Currently Amended) The ~~pharmaceutical~~
~~composition~~method according to claim 35, comprising the
compound herein designated **Compound No. 81**.

37. (Currently Amended) The ~~pharmaceutical~~
~~composition~~method according to claim 1, comprising
administering a compound of the formula Ik:



wherein

R₂, R₄, R₆, R'₃, R'₅ and R'₆ each is H;

R₃, R₅ and R'₄ each is H or -COOH; and

R'₉ is (C₁₀-C₂₂) alkenyl optionally substituted by OH and -CF₃;

and wherein the "(C₁₀-C₂₂) alkenyl" as defined in R'₉ may be

straight or branched and may be interrupted by one or more

heteroatoms selected from the group consisting of O, S and N,

and/or may be substituted by one or more radicals selected

from the group consisting of halogen, (C₃-C₇) cycloalkyl

preferably cyclopropyl, (C₆-C₁₄) aryl, nitro, -OR'₉, -SR'₉,

epoxy, epithio, oxo, -COR'₉, -COOR'₉, -OSO₃R'₉, -SO₃R'₉, -

SO₂R'₉, -NHSO₂R'₉, -NR₉R'₉, aziridine, =N-OR'₉, =N-NR₉R'₉, -

NR₉-NR₉R'₉, -(CH₂)_n-NR₉-COR'₉, -(CH₂)_n-CO-NR₉R'₉, -OPO₃R₉R'₉, -

PO₂HR'₉ and -PO₃R₉R'₉; and wherein R₉ is H or (C₁-C₃₂) alkyl

and R'₉ is selected from the group consisting of H, (C₁-C₃₂)

alkyl, (C₂-C₃₂) alkenyl and (C₆-C₁₄) aryl, or R₉ and R'₉ as

part of the radical -NR₉R'₉ form together with the N atom to

which they are attached a 3-7 membered saturated ring,

optionally further containing one or more N, S or O atoms; and

n is 0 or an integer from 1 to 10.

38. (Currently Amended) The ~~pharmaceutical~~
~~composition~~method according to claim 37, ~~comprising a compound~~
~~of formula Ik,~~ wherein R₂, R₄, R₆, R'₃, R'₅ and R'₆ each is H;

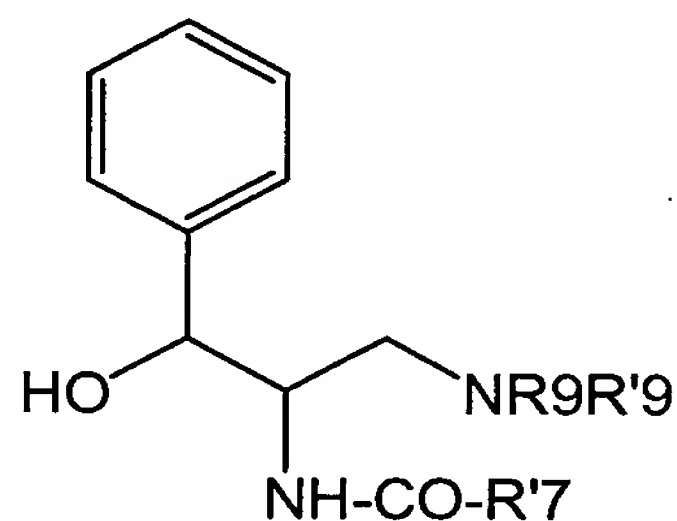
In re of: VAN GELDER1A

R3, R5 and R'4 each is -COOH; and R'9 is C₁₇H₃₁ optionally substituted by OH and -CF₃.

39. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 38, comprising administering the compound herein designated **Compound No. 98**.

40. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 1, comprising administering a compound of the formula II:

[II]



wherein

R'7 is (C₁₀-C₂₂) alkyl; and

R9 and R'9 together with the N atom to which they are attached form a 3-7 membered saturated ring, optionally containing a further O, N or S atom;

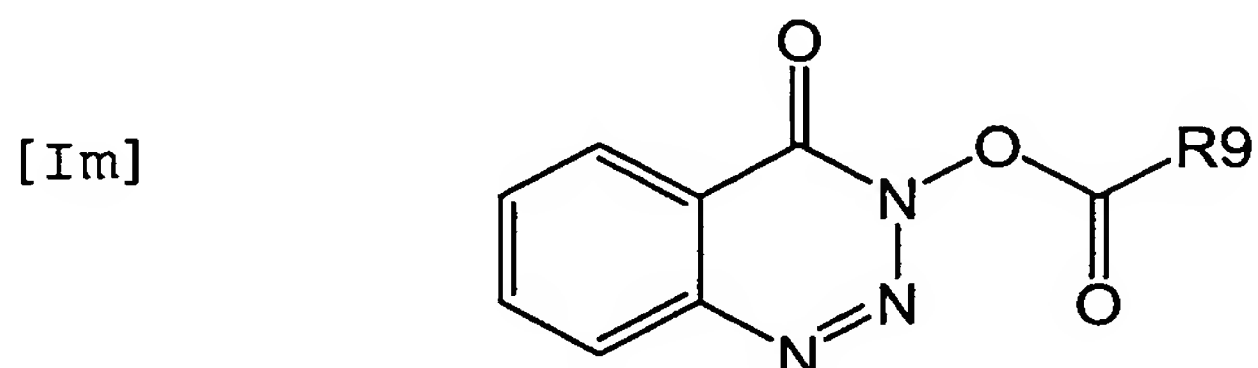
and wherein any "(C₁₀-C₂₂) alkyl" as defined in R'7, may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected

from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, COOR'9, -OSO₃R'9, -SO₃R'9, -SO₂R'9, -NHSO₂R'9, -NR₉R'9, aziridine, =N-OR'9, =N-NR₉R'9, -NR₉-NR₉R'9, -(CH₂)_n-NR₉-COR'9, -(CH₂)_n-CO-NR₉R'9, -OPO₃R₉R'9, -PO₂HR'9 and -PO₃R₉R'9; and wherein R₉ is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R₉ and R'9 as part of the radical -NR₉R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

41. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 40, ~~comprising a compound of formula II,~~ wherein R'7 is (C10-C22) alkyl and R₉ and R'9 together with the N atom to which they are attached form a morpholine ring.

42. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 41, comprising administering the compound herein designated **Compound No. 74.**

43. (Currently Amended) The pharmaceutical ~~composition~~method according to claim 1, comprising administering a compound of the formula Im:



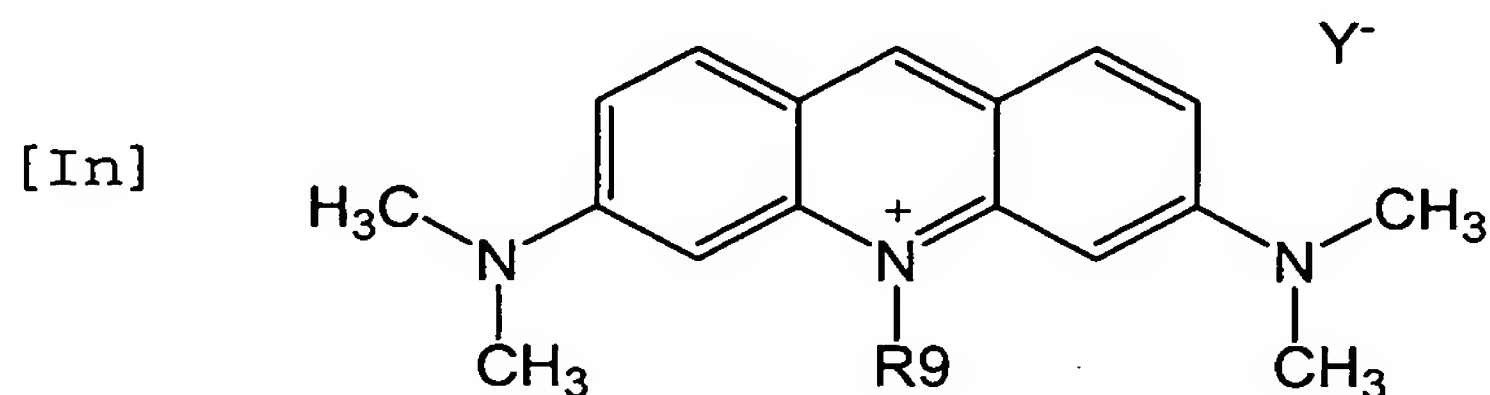
wherein

R9 is (C10-C22) alkyl, or (C10-C22) alkyl interrupted by one or more heteroatoms selected from the group consisting of O, S and N, or (C10-C22) alkyl substituted or both interrupted and substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO₃R'9, -SO₃R'9, -SO₂R'9, -NHSO₂R'9, -NR₉R'9, aziridine, =N-OR'9, =N-NR₉R'9, -NR₉-NR₉R'9, -(CH₂)_n-NR₉-COR'9, -(CH₂)_n-CO-NR₉R'9, -OPO₃R₉R'9, -PO₂HR'9 and -PO₃R₉R'9; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR₉R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

44. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 43, ~~comprising a compound of formula Im,~~ wherein R9 is -C₁₇H₃₃ optionally substituted by epoxy.

45. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 44, comprising administering the compound herein designated **Compound No. 99**.

46. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 1, comprising administering a compound of the formula In:



wherein

R₉ is (C₁₀-C₂₂) alkyl; and

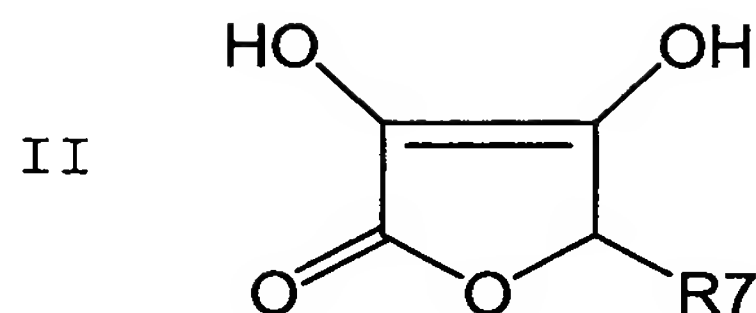
Y⁻ is a counter ion selected from the group consisting of chloride, bromide, iodide, perchlorate, tosylate, mesylate, sulfate, phosphate and an organic anion;

and wherein the "(C₁₀-C₂₂) alkyl" as defined in R₉ may be straight or branched and may be interrupted by one or more

heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO₃R'9, -SO₃R'9, -SO₂R'9, -NHSO₂R'9, -NR₉R'9, aziridine, =N-OR'9, =N-NR₉R'9, -NR₉-NR₉R'9, -(CH₂)_n-NR₉-COR'9, -(CH₂)_n-CO-NR₉R'9, -OPO₃R₉R'9, -PO₂HR'9 and -PO₃R₉R'9; and wherein R₉ is H or -(C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R₉ and R'9 as part of the radical -NR₉R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

47. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 46, comprising administering the compound herein designated **Compound No. 79**, wherein R₉ is -C₁₈H₃₇ and Y⁻ is bromide.

48. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 1, comprising administering a compound of the general formula II:



wherein

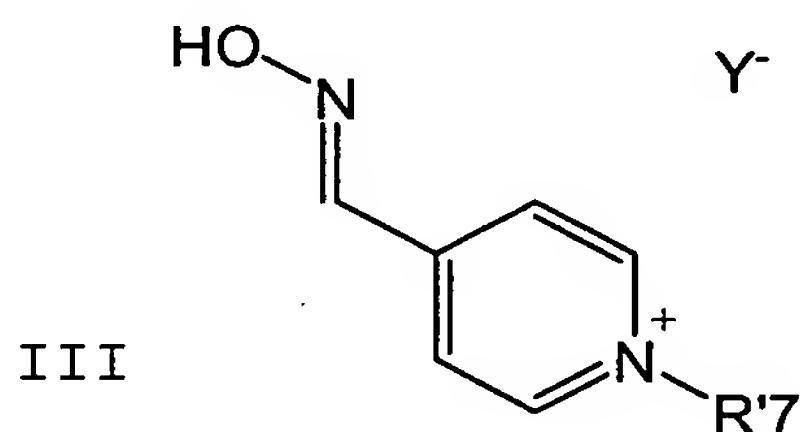
R7 is $-\text{CH}(\text{OH})-\text{CH}_2-\text{O}-\text{CO}-\text{R}_9$ and R9 is (C10-C22) alkyl;

and wherein the "(C10-C22) alkyl" as defined in R9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, $-\text{OR}'_9$, $-\text{SR}'_9$, epoxy, epithio, oxo, $-\text{COR}'_9$, $-\text{COOR}'_9$, $-\text{OSO}_3\text{R}'_9$, $-\text{SO}_3\text{R}'_9$, $-\text{SO}_2\text{R}'_9$, $-\text{NHSO}_2\text{R}'_9$, $-\text{NR}_9\text{R}'_9$, aziridine, $=\text{N}-\text{OR}'_9$, $=\text{N}-\text{NR}_9\text{R}'_9$, $-\text{NR}_9-\text{NR}_9\text{R}'_9$, $-(\text{CH}_2)_n-\text{NR}_9-\text{COR}'_9$, $-(\text{CH}_2)_n-\text{CO}-\text{NR}_9\text{R}'_9$, $-\text{OPO}_3\text{R}_9\text{R}'_9$, $-\text{PO}_2\text{HR}'_9$ and $-\text{PO}_3\text{R}_9\text{R}'_9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical $-\text{NR}_9\text{R}'_9$ form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

49. (Currently Amended) The ~~pharmaceutical composition~~
method according to claim 48, comprising

administering the compound herein designated **Compound No. 78**,
wherein R7 is $-\text{CH}(\text{OH})-\text{CH}_2-\text{O}-\text{CO}-\text{R}_9$ and R9 is $-\text{C}_{15}\text{H}_{31}$.

50. (Currently Amended) The ~~pharmaceutical~~
~~composition~~method according to claim 1, comprising
administering a compound of the general formula III:



wherein

R'7 is (C10-C22) alkyl; and

Y⁻ is a counter ion selected from the group consisting
chloride, bromide, iodide, perchlorate, tosylate, mesylate,
sulfate, phosphate and an organic anion;

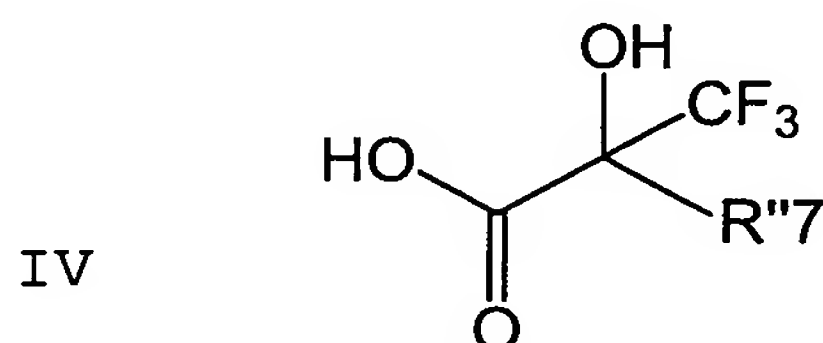
and wherein the "(C10-C22) alkyl" as defined in R'7 may
be straight or branched and may be interrupted by one or more
heteroatoms selected from the group consisting of O, S and N,
and/or may be substituted by one or more radicals selected
from the group consisting of halogen, (C3-C7) cycloalkyl
preferably cyclopropyl, (C6-C14) aryl, nitro, $-\text{OR}'9$, $-\text{SR}'9$,
epoxy, epithio, oxo, $-\text{COR}'9$, $-\text{COOR}'9$, $-\text{OSO}_3\text{R}'9$, $-\text{SO}_3\text{R}'9$, -
 $\text{SO}_2\text{R}'9$, $-\text{NHSO}_2\text{R}'9$, $-\text{NR}_9\text{R}'9$, aziridine, $=\text{N}-\text{OR}'9$, $=\text{N}-\text{NR}_9\text{R}'9$, -

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NR₉-NR₉R'₉, -(CH₂)_n-NR₉-COR'₉, -(CH₂)_n-CO-NR₉R'₉, -OPO₃R₉R'₉, -PO₂HR'₉ and -PO₃R₉R'₉; and wherein R₉ is H or (C1-C32) alkyl and R'₉ is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R₉ and R'₉ as part of the radical -NR₉R'₉ form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

51. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 50, comprising administering the compound herein designated **Compound No. 80**, wherein R'₇ is -C₁₆H₃₃, and Y⁻ is bromide.

52. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 1, comprising administering a compound of the general formula IV:



wherein R''₇ is (C2-C32) alkenyl, that may be straight or branched and may be interrupted by one or more heteroatoms

selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO₃R'9, -SO₃R'9, -SO₂R'9, -NHSO₂R'9, -NR₉R'9, aziridine, =N-OR'9, =N-NR₉R'9, -NR₉-NR₉R'9, -(CH₂)_n-NR₉-COR'9, -(CH₂)_n-CO-NR₉R'9, -OPO₃R₉R'9, -PO₂HR'9 and -PO₃R₉R'9; and wherein R₉ is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R₉ and R'9 as part of the radical -NR₉R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

53. (Currently Amended) The ~~pharmaceutical composition~~method according to claim 52, comprising administering the compound herein designated **Compound No. 97**, wherein R''7 is -C₁₆H₃₁.

54. (Currently Amended) The ~~pharmaceutical composition~~method according to ~~any one of claims claim 1, to 53~~ for inhibition of angiogenesis.

55. (Currently Amended) The pharmaceutical ~~composition~~method according to ~~any one of claims~~ claim 1, ~~to~~ ~~53~~ for treatment or inhibition of a malignant cell proliferative disease or disorder.

56. (Currently Amended) The pharmaceutical ~~composition~~method according to claim 55, for the treatment or inhibition of non-solid cancers, ~~e.g. hematopoietic malignancies such as all types of leukemia, e.g. acute lymphocytic leukemia (ALL), acute myelogenous leukemia (AML), chronic lymphocytic leukemia (CLL), chronic myelogenous leukemia (CML), myelodysplastic syndrome (MDS), mast cell leukemia, hairy cell leukemia, Hodgkin's disease, non-Hodgkin's lymphomas, Burkitt's lymphoma and multiple myeloma.~~

57. (Currently Amended) The pharmaceutical ~~composition~~method according to claim 55, for the treatment or inhibition of a solid tumor, ~~s such as tumors in lip and oral cavity, pharynx, larynx, paranasal sinuses, major salivary glands, thyroid gland, esophagus, stomach, small intestine, colon, colorectum, anal canal, liver, gallbladder, extrahepatic bile ducts, ampulla of vater, exocrine pancreas, lung, pleural mesothelioma, bone, soft tissue sarcoma, carcinoma and malignant melanoma of the skin, breast, vulva,~~

~~vagina, cervix uteri, corpus uteri, ovary, fallopian tube, gestational trophoblastic tumors, penis, prostate, testis, kidney, renal pelvis, ureter, urinary bladder, urethra, carcinoma of the eyelid, carcinoma of the conjunctiva, malignant melanoma of the conjunctiva, malignant melanoma of the uvea, retinoblastoma, carcinoma of the lacrimal gland, sarcoma of the orbit, brain, spinal cord, vascular system, hemangiosarcoma and Kaposi's sarcoma.~~

58. (Currently Amended) The pharmaceutical ~~composition~~method according to claim 56, ~~or 57~~ for treating or inhibiting tumor formation, primary tumors, tumor progression or tumor metastasis.

59. (Currently Amended) The pharmaceutical ~~composition~~method according to ~~any one of claims~~claim 1, to 53 for treatment of ophthalmologic disorders selected from the group consisting of ~~such as~~ diabetic retinopathy and macular degeneration, particularly age-related macular degeneration.

60. (Currently Amended) The pharmaceutical ~~composition~~method according to ~~any one of claims~~claim 1, to 53 for inhibiting or treating a cell proliferative diseases or

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~~disorder_s such as psoriasis, hypertrophic scars, acne and
sclerosis/scleroderma.~~

61. (Currently Amended) The ~~pharmaceutical~~
~~composition~~method according to ~~any one of claims~~ claim 1, to
~~53~~—for inhibiting or treatment of a disease or disorder
selected from the group consisting of polyps, multiple
exostosis, hereditary exostosis, retrolental fibroplasia,
hemangioma, reperfusion of gastric ulcer and arteriovenous
malformation.

62. (Currently Amended) The ~~pharmaceutical~~
~~composition~~method according to ~~any one of claims~~ claim 1, to
~~53~~,—for —contraception or for inducing abortion at early
stages of pregnancy.

63. (Currently Amended) The ~~pharmaceutical~~
~~composition~~method according to ~~any one of claims~~ claim 1, to
~~53~~,—for treatment of, or amelioration of, inflammatory
symptoms in any disease, condition or disorder where immune
and/or inflammation suppression is beneficial.

64. (Currently Amended) The ~~pharmaceutical~~
~~composition~~method according to claim 63, for treatment of, or

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amelioration of, inflammatory symptoms in the joints,
musculoskeletal ~~and~~ or connective tissue disorders.

65. (Currently Amended) The ~~pharmaceutical~~
~~composition~~ method according to claim 63, for treatment of, or
amelioration of, inflammatory symptoms associated with
hypersensitivity, allergic reactions, asthma, atherosclerosis,
otitis ~~and~~ or other otorhinolaryngological diseases,
dermatitis ~~and~~ or other skin diseases, posterior and anterior
uveitis, conjunctivitis, optic neuritis, scleritis ~~and~~ or
other immune and/or inflammatory ophthalmic diseases.

66. (Currently Amended) The ~~pharmaceutical~~
~~composition~~ method according to ~~any one of claims~~ claim 1, to
53, ~~for~~ treatment of, or amelioration of, an autoimmune
disease.

67. (Currently Amended) The ~~pharmaceutical~~
~~composition~~ method according to claim 66, wherein said
autoimmune disease is Eaton-Lambert syndrome, Goodpasture's
syndrome, Grave's disease, Guillain-Barré syndrome, autoimmune
hemolytic anemia (AIHA), hepatitis, insulin-dependent diabetes
mellitus (IDDM), systemic lupus erythematosus (SLE), multiple
sclerosis (MS), myasthenia gravis, plexus disorders e.g. acute

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brachial neuritis, polyglandular deficiency syndrome, primary biliary cirrhosis, rheumatoid arthritis, scleroderma, thrombocytopenia, thyroiditis e.g. Hashimoto's disease, Sjögren's syndrome, allergic purpura, psoriasis, mixed connective tissue disease, polymyositis, dermatomyositis, vasculitis, polyarteritis nodosa, polymyalgia rheumatica, Wegener's granulomatosis, Reiter's syndrome, Behçet's syndrome, ankylosing spondylitis, pemphigus, bullous pemphigoid, dermatitis herpetiformis, Crohn's disease or autism.

Claims 68-135 (Cancelled)

136. (Original) A compound selected from the group of compounds herein designated **Compounds Nos. 12, 18, 27, 37, 48, 50, 61-63, 70, 71, 75, 77, 83-87, 90-96 and 98-107.**

137. (New) The method according to claim 56, wherein said non-solid cancer is a hematopoietic malignancy selected from the group consisting of acute lymphocytic leukemia (ALL), acute myelogenous leukemia (AML), chronic lymphocytic leukemia (CLL), chronic myelogenous leukemia (CML), myelodysplastic syndrome (MDS), mast cell leukemia, hairy cell leukemia,

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Hodgkin's disease, non-Hodgkin's lymphomas, Burkitt's lymphoma and multiple myeloma.

138. (New) The method according to claim 57, wherein said solid tumor is a tumor in lip or oral cavity, pharynx, larynx, paranasal sinuses, major salivary glands, thyroid gland, esophagus, stomach, small intestine, colon, colorectum, anal canal, liver, gallbladder, extrahepatic bile ducts, ampulla of Vater, exocrine pancreas, lung, pleural mesothelioma, bone, soft tissue sarcoma, carcinoma and malignant melanoma of the skin, breast, vulva, vagina, cervix uteri, corpus uteri, ovary, fallopian tube, gestational trophoblastic tumors, penis, prostate, testis, kidney, renal pelvis, ureter, urinary bladder, urethra, carcinoma of the eyelid, carcinoma of the conjunctiva, malignant melanoma of the conjunctiva, malignant melanoma of the uvea, retinoblastoma, carcinoma of the lacrimal gland, sarcoma of the orbit, brain, spinal cord, vascular system, hemangiosarcoma or Kaposi's sarcoma.

139. (New) The method according to claim 57, for treating or inhibiting tumor formation, primary tumors, tumor progression or tumor metastasis.

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140. (New) The method according to claim 60, wherein said cell proliferative disease or disorder is psoriasis, hypertrophic scars, acne or sclerosis/scleroderma.